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                CASREACT coverage extended
NEWS 4
        MAR 20
                MARPAT now updated daily
NEWS 5
        MAR 22 LWPI reloaded
NEWS 6 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 7
        APR 02 JICST-EPLUS removed from database clusters and STN
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NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 10 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11 APR 30
                INPADOC replaced by INPADOCDB on STN
NEWS 12 MAY 01
                New CAS web site launched
        MAY 08
NEWS 13
                CA/CAplus Indian patent publication number format defined
NEWS 14 MAY 14
                RDISCLOSURE on STN Easy enhanced with new search and display
        MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 15
NEWS 16
        MAY 21
                TOXCENTER enhanced with BIOSIS reload
NEWS 17
        MAY 21
                CA/CAplus enhanced with additional kind codes for German
                patents
NEWS 18
        MAY 22
                CA/CAplus enhanced with IPC reclassification in Japanese
                patents
NEWS 19
        JUN 18
                CA/CAplus to be enhanced with pre-1967 CAS Registry Numbers
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
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              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
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              For general information regarding STN implementation of IPC 8
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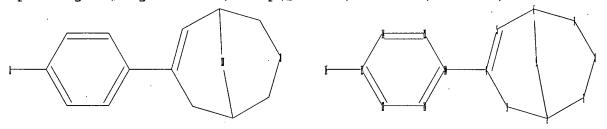
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chain nodes :

16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

chain bonds : 4-10 13-16 ring bonds :

1-2 1-8 2-3 2-9 3-4 4-5 5-6 6-7 6-9 7-8 10-11 10-15 11-12 12-13 13-14

14-15

exact/norm bonds :

1-2 1-8 2-3 2-9 3-4 4-5 5-6 6-7 6-9 7-8 13-16

exact bonds :

4-10

normalized bonds :

10-11 10-15 11-12 12-13 13-14 14-15

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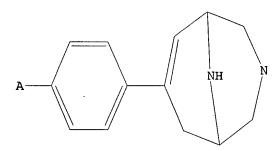
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS

# L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 14:03:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2125 TO ITERATE

94.1% PROCESSED 200

2000 ITERATIONS

2125 TO TIERATE

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

39735 TO 4526

PROJECTED ANSWERS:

1182 TO

2302

L2

50 SEA SSS SAM L1

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FULL SEARCH INITIATED 14:03:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

42642 TO ITERATE

100.0% PROCESSED

42642 ITERATIONS

1597 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.01

L3 1597 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 172.55 SESSION 172.76

FULL ESTIMATED COST

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=> s 13

L4 15 L3

=> d l4 1-15 ibib abs hitstr

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:768921 CAPLUS
DOCUMENT NUMBER: 145:188915
7-[4-[2-(2,6-dichloro-4-methylphenoxylethoxylphenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid cyclopropyl-(2,3-dimethylbenzyl)amide as inhibitors of

INVENTOR (S):

renin for the treatment of hypertension Bezencon, Olivier: Bur, Daniel: Fischli, Walter; Remen, Lubos; Richard-Bildstein, Sylvia: Sifferlen, Thierry; Weller, Thomas Actelion Pharmaceuticals Ltd., Switz. PCT Int. Appl.. 26pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE(S): SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
						-									-		
WO	2006	0799	88		A1		2006	0803		WO 2	006-	IB50	285		2	0060	126
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES.	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	Hυ,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM.	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
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		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
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ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

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ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

AB The invention relates to a novel 3,9-diarabicyclo[3.3.1]nonene derivative I, and the enantiomers thereof, and the use thereof as active ingredients in the preparation of pharmaceutical compns. A multistep preparation of I is described as well as resolution of the racemate to provide II. In renin inhibition assays, II displayed ICSO value of 0.3 nM. The invention also concerns related aspects including pharmaceutical compns. containing at least one compound of formula I or II and especially their use as inhibitors of renin.

compound of formula I or II and especially their use as inhibitors or renin.

17 903579-35-1P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
process); PYP (Physical process); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL, (Biological study); PREP (Preparation); PROC
(Process); USES (Uses)
(preparation of
[[dichloromethylphenoxy]ethoxy]phenyl]diazabicyclo[3.3.1]no
nenecarboxylic acid cyclopropyl(dimethylbenzyl)amide as inhibitors of
renin for the treatment of hypertension)
RN 903579-35-1 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[2-(2,6dichloro-4-methylphenoxy)ethoxy]phenyl]-N-[(2,3-dimethylphenyl)methyl](9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2006:606520 CAPLUS
DOCUMENT NUMBER: 145:62930
TITLE: 45:62930 Heteroary1-substituted azabicyclononene derivatives

renin inhibitors, their preparation, pharmaceutical compositions, and use in therapy Bezencon, Olivier: Boss, Christoph; Bur, Daniel; Corminboeuf, Olivier: Pischil; Walter; Grisostomi, Corinnar Remen, Lubos; Richard-Bildstein, Sylvia; Sifferlen, Thierry; Weller, Thomas Actelion Pharmaceuticals Ltd., Switz. PCT Int. Appl., 70 pp. CODEN: PIXXD2 Patent English 2 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PATENT 1	10.			KIN	D	DATE				ICAT				D	ATE	
WO 20060	C A A			A1	-	2006								-		
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w:						AU,										
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						ID,										
						LT,										
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	KG,	ΚZ,	MD,	RU,	TJ,	TM										
WO 20060	636	10		A1		2006	0622	- 1	WO 2	004-	EP14	401		2	0041	217
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	CN,	co,	CR,	CU,	CŽ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA.	NI,
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

MARPAT 145:62930

The invention relates to heteroaryl-substituted azabicyclononene derivs. I, which are renin inhibitors. In compds. I, X is (un)substituted N, O, or S: V is -1.1-2.1-2, where L is -0.012- or -0.012- or -0.012- a bond, O, or CH2, and Z is 5-membered heteroarylene containing 2 or 3 heteroatoms

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) independently selected from N, O, and S: U is (un)substituted phenyl: is C1-7 alkyl or C3-6 cycloalkyl: and R2 is (un)substituted phenyl: including stereoisomers, racemates, salts, solvates and morphol. forms thereof. The invention also relates to the prepn. of I, pharmaceutical compns. contg. a compd. according to formula I and a pharmaceutically acceptable carrier material, as well as to the use of the compns. for the treatment of cardiovascular events and renal insufficiency. Metal-halogen

exchange of (4-bromophenoxy)triisopropylsilane followed by substitution

triflate II, demethylation, N-Boc-protection, and ester hydrolysis gave the carboxylic acid, which was amidated with N-cyclopropyl-2,3-dichlorobenzylamine and desilylated to give phenol III. Condensation of 2-chloro-3,6-difluorobenzaldehyde with hydroxylamine followed by heterocyclization with 3-(tert-butyldimethylsilyloxy)propyne and desilylation gave [3-(2-chloro-3,6-difluorophenyl)isoxazol-5-yl]methanol, which underwent coupling with phenol III and deprotection, resulting in the formation of IV. Compd. IV expresses an IC50 value of 0.8 mM in an assay for inhibition of human recombinant renin.
890934-57-3P, (1R,5S)-7-[4-[{3-(2-Chloro-3,6-

## Absolute stereochemistry.

890846-78-3P, 7-[4-[[5-(2-Chloro-3,6-difluorophenyl]-[1,2,4]oxadiazol-3-yl]methoxy]phenyl]-3,9-diazabicyclo(3.3.1]non-6-ene-6-carboxamide N-cycloproyl-N-(3-methoxy-2-methylbenzyl) 890846-79-4P, 7-[4-[[5-(2-Chlorophenyl]-1,2,4]oxadiazol-3-yl]methoxy]phenyl]-3,9-diazabicyclo(3.3.1]non-6-ene-6-carboxamide N-cycloproyl-N-(3-methoxy-2-methylbenzyl) 890846-80-7P, 7-[4-[2-[3-(2,3-Dichlorophenyl)-1,2,4]oxadiazol-5-yl]ethyl]phenyl]-3,9-diazabicyclo(3.3.1)non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) 890846-81-8P, 7-[4-[2-[3-(2,6-Dichlorophenyl)-IT

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued 890934-74-4P, 7-[4-[[3-(3-Chloro-6-fluoro-2-trifluoromethylphenyl]130xazol-5-yl]methoxylphenyl]-3, 9-diazabicyclo[3, 3.1]mon-6-ene-6-carboxamide N-cyclopropyl-N-(2, 3-dichlorobenzyl) 890934-75-5P, 7-{4-[[3-(2,6-

Dimethylphenyl)isoxazol-5-yl]methoxy]phenyl]-3,9-diazabicyclo{3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl)
890934-76-6P, 7-[4-[[3-(2,5-bichlorobenyl)isoxazol-5yl]methoxy]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxamide
N-cyclopropyl-N-(2,3-dichlorobenzyl)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; prepn. of azabicyclononene derivs. as renin
inhibitors)
RN 890846-78-3 CAPLUS
CN 3,9-biazbicyclo[3,3.1]non-6-ene-6-carboxamide, 7-[4-[[5-(2-chloro-3,6difluorophenyl]-1,2,4-oxadiazol-3-yl]methoxy]phenyl]-N-cyclopropyl-N-[(3methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-79-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
-[[5-[2-chlorophenyl]1,2,4-oxadiazol-3-yl]methoxy]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-80-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-{2-[3-[2,3-dichlorophenyl)-1,2,4-oxadiazol-5-yl]ethyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- {9CI} (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
[1,2,4]oxadiazol-5-yl]ethyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)
890846-82-9P, 7-[4-[[3-(2-chloro-3,6-difluorophenyl)[1,2,4]oxadiazol-5-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 990934-54-0P,
7-[4-[[2-(2-chloro-3,6-difluorophenyl)oxazol-4-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-55-1P, 7-[4-[[5-(2-chloro-3,6-dichlorobenzyl)] 890934-55-1P, 7-[4-[[5-(2-chloro-3,6-dichlorobenzyl]]]

difluorophenyl)isoxazol-3-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-56-2P, (1R,55)-3-Acetyl-7-[4-[[3-{2-chloro-3,6-

difluorophenyl)isoxazol-5-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl)
890934-58-4P,7-[4-[3-4-Fluoro-2-trifluoromethylphenyl)isoxazol5-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide
N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-59-5P,
7-[4-[3-(2-chloro-6-fluorophenyl)isoxazol-5-yl]methoxy]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3dichlorobenzyl) 890934-60-8P, 7-[4-[[3-(2,5-

Difluorophenyl)isoxazol-5-yl]methoxylphenyl]-3,9-diarabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl)
890934-61-9P, 7-(4-(3-(2-Chloro-4-fluorophenyl)isoxazol-5yl]methoxylphenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide
N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-62-0P,
7-(4-[[3-(5-Chloro-1,3-diemthyl-1H-pyrazol-4-yl)isoxazol-5yl]methoxylphenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide
N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-63-1P,
7-(4-[[3-(2,4-Dichlorophenyl)isoxazol-5-yl]methoxylphenyl]-3,9diazabicyclo[3,3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-64-2P, 7-[4-[[3-(2,6-

Dichlorophenyl)isoxazol-5-yl]methoxy]phenyl]-3,9-diezabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-[2,3-dichlorobenzyl)
890934-65-3P, 7-[4-[3-[2,3,6-frichlorophenyl]isoxazol-5yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide
N-cyclopropyl-N-[2,3-dichlorobenzyl] 890934-66-4P,
7-[4-[[3-(2-Fluoro-6-trifluoromethylphenyl]isoxazol-5-yl]methoxy]phenyl]3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-[2,3-dichlorobenzyl] 890934-67-5P, 7-[4-[[3-[2,3-

Dichlorophenyl)isoxazol-5-yl]methoxy|phenyl)-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl).

890934-68-6P, 7-(4-[[3-(2-Chloro-6-fluoro-3-methylphenyl)isoxazol-5-yl]methoxy|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-69-7P,
7-[4-[(3-(3-Chloro-2-fluorophenyl)isoxazol-5-yl]methoxy|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-70-0P, 7-[4-[[3-(3-Chloro-2-fluoro-3-methylphenyl)isoxazol-5-yl]methoxy|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-71-1P, 7-[4-[[3-(3-Chloro-2-fluoro-6-trifluoromethylphenyl)isoxazol-5-yl]methoxy|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-71-9, 7-[4-[[3-(3-Chloro-2-fluoro-6-trifluoromethylphenyl)isoxazol-5-yl]methoxy|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) 890934-73-3P, 7-[4-[[3-(3-Chloro-2,6-

difluorophenyl}isoxazol-5-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

$$\begin{array}{c|c} & & & & \\ & &$$

890846-81-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-{2-[3-(2,6-dichlorophenyl)-1,2,4-oxadiazol-5-yl]ethyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-82-9 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-(2-chloro-3,6-

difluorophenyl)-1,2,4-oxadiazol-5-yl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-54-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[2-(2-chloro-3,6-difluorophenyl)-4-oxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 890934-55-1 CAPLUS
3,9-Diazabicyclo[3.3.]]non-6-ene-6-carboxamide, 7-[4-[[5-{2-chloro-3,6-difluorophenyl]-3-isoxazolyl]methoxyl]phenyl]-N-cyclopropyl-N-[{2,3-dichlorophenyl]methyl]- (9CI) (CA INDEX NAME)

(Continued)

RN 890934-56-2 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[[3-(2-chioro-3,6-difluorophenyl)-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-((2,3-dichlorophenyl)methyl)-, (1R,53)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 890934-61-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-{2-chloro-4-fluorophenyl}-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-{{2,3-dichlorophenyl}methyl]- (9CI) (CA INDEX NAME)

RN 890934-62-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-[5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)-5-isoxazolyl]methoxylphenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 890934-63-1 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-{[3-(2,4-dlchlorophenyl)-5-isoxazolyl]methoxy]phenyl]-N-[(2,3-dichlorophenyl)methyl)- (9CI) (CA INDEX NAME)

RN 890934-58-4 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl]methyl]-7-[4-([3-[4-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methoxy[phenyl]- (9CI) (CA INDEX NAME)

RN 890934-59-5 CAPLUS
SN 3,9-Dlazablcyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-{[3-(2-chloro-6-fluorophenyl]-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 890934-60-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.]]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-7-[4-([3-(2,5-difluorophenyl)-5-isoxazolyl]methoxylphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 890934-64-2 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[[3-(2,6-dichlorophenyl)-5-isoxazolyl]methoxy]phenyl]-N-[(2,3-dichlorophenyl)methyl}- (9CI) (CA INDEX NAME)

RN 890934-65-3 CAPLUS
CN 3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-7-(4-[(3-(2,3,6-trichlorophenyl)-5-isoxazolyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 890934-66-4 CAPLUS 3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-7-[4-{[3-[2-fluoro-6-(trifluoromethyl)phenyl]-5-isoxazolyl]methoxylphenyl]- (9CI) (CA INDEX NAME)

890934-67-5 CAPLUS
3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[{3-(2,3-dichlorophenyl)-5-isoxazolyl)methoxy]phenyl]-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

(Continued)

890934-68-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-(2-chloro-6-

fluoro-3-methylphenyl)-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-69-7 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamidé, 7-[4-[[3-(3-chloro-2-fluorophenyl]-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

890934-74-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-[3-chloro-6-fluoro-2-(trifluoromethyl)phenyl]-5-isoxazolyl]methoxylphenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-75-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-{(2,3-dichlorophenyl)methyl]-7-[4-{[3-(2,6-dimethylphenyl)-5-isoxazolyl]methoxy]phenyl}- (9CI) (CA INDEX NAME)

890934-76-6 CAPLUS 3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-{4-[{3-(2,5-dichlorophenyl)-5-isoxazolyl]methoxy]phenyl]-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-70-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-(6-chloro-2-

fluoro-3-methylphenyl)-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-{(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-71-1 CAPLUS
3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, 7-[4-[{3-[3-chloro-2-fluoro-6-(ctrifluoromethyl)phenyl]-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

890934-73-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-[3-chloro-2,6-difluorophenyl]-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

890846-83-0P, 7-[4-[[3-{2-chloro-3,6-difluorophenyl)isoxazol-5-yl]methoxy]phenyl]-3,9-diazabicyclo[3.3.1}non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyl) RL: PAC (Pharmacological activity); PEP (Physical, engineering or

ccal process); PYP (Physical process); SPN (Synthetic preparation); THU 
(Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC 
(Process); USES (Uses) 
(racemic drug candidate; preparation of azabicyclononene derivs. as

renin inhibitors)

890846-83-0 CAPLUS

39-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-[2-chloro-3,6-difluorophenyi]-5-isoxazolyl]methoxy]phenyi]-N-cyclopropyl-N-[(2,3-dichlorophenyi)-b-hyll (SCI (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER

DOCUMENT NUMBER: TITLE:

CUST COPYRIGHT 2007 MCS on 316 2006:606519 CAPLUS 145:83392 Heteroaryl-substituted diazabicyclononene derivatives as renin inhibitors, their preparation,

pharmaceutical

compositions, and use in therapy
Bezencon, Olivier: Remen, Lubos: Sifferlen, Thierry;
Grisostomi, Corinna: Richard-Bildstein, Sylvia: Bur,
Daniel: Boss, Christoph: Corminboeuf, Olivier;
Fischli, Walter: Weller, Thomas
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 40 pp.
CODEN: PIXXD2
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PATENT				KIN	D									D	ATE	
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W:	ΑE,															
						DE,										
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	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
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	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UŻ,	VC,	VN,	YU,	ZA,	ZM,
ZW																
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	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,
	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,
	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SŹ,	TZ,	υG,	ZM,	ZW,	AM,	AZ,	BY,	KG,
	KZ,	MD,	RU,	TJ,	TM											
WO 200	60644	84		A1		2006	0622		WO 2	005~	IB54	276		2	0051	215
W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ.	BA,	BB.	BG.	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	co,	CR,	Cυ,	CZ,	DE,	DK,	DM,	DZ.	EC,	EE,	EG,	ĒS,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL.	IN,	IS.	JP.	KE,	KG,	KM,	KN,	KP,	KR,
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	SG,	SK,	SL.	SM.	SY,	TJ.	TM,	TN,	TR.	TT.	TZ.	UA,	UG,	US,	UZ,	VC.
	VN,	YU,	ZA.	ZM,	ZW											
RW	: AT,	BE,	BG,	CH,	CY,	cz,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ.	TZ.	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG,	KZ,	MD,	RU,	TJ,	TM										
PRIORITY AF	PLN.	INFO	.:					•	WO 2	004-	EP14	401		A 2	0041	217
OTHER SOURCE	E(S):			MAR	PAT	145:	8339	2								

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \* The invention relates to heteroaryl-substituted diazabicyclononene

J. which are renin inhibitors. In compds. I, V is -L1-Z-L2-, where L1 is -OCH2- or -CH2CH2-, L2 is a bond, -O-, or -CH2-, and Z is 5-membered

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

890846-79-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
-[(5-(2-chlorophenyl)1,2,4-oxadiazol-3-yl]methoxy]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-80-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[3-(2,3-dichlorophenyl)-1,2,4-oxadiazol-5-yl]ethyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-81-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[3-[2,6-dichlorophenyl]-1,2,4-oxadlazol-5-yl]ethyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

890846-82-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[3-(2-chloro-3,6-

difluorophenyl)-1,2,4-oxadiazol-5-yl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) heteroarylene contg. 2 or 3 heteroatoms; U is (un)substituted phenyl; Rl is Cl-7 alkyl or C3-6 cycloalkyl; and R2 is (un)substituted phenyl; including stereoisomers, racemates, salts, solvates and morphol. forms thereof. The invention also relates to the prepn. of I, pharmaceutical compns. contg. at least one compd. according to formula I and pharmaceutically acceptable carrier materials or adjuvants, as well as to the use of the compns. for the treatment of cardiovascular events and renal insufficiency. Metal-halogen exchange of (4-bromophenoxy)triisopropylsilane followed by substitution of triflate II, demethylation, N-Boc-protection, and ester hydrolysis gave the carboxylic acid, which was amidated with N-cyclopropyl-2,3-dichlorobanine and desilylated to give phenol III. Condensation of 2-chloro-3,6-difluorobenzaldehyde with hydroxylamine followed by heterocyclization

3-(tert-butyldimethylsilyloxy)propyne and desilylation gave [3-(2-chloro-3,6-difluorophenyl)isoxazol-5-yl]methanol, which underwent coupling with phenol III and ester cleavage, resulting in the formation of

Compd. IV expresses an IC50 value of 0.8 nM in an assay for

IV. Compd. IV expresses an IC50 value of 0.8 nM in an assay for inhibition of human recombinant renin. 890846-78-3P, 7-(4-[5-(2-chloro-3,6-difluorophenyi)-(1,2,4]oxadiazol-3-yl]methoxylphenyi]-3,9-diazabicyclo(3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyi) 890846-79-4P, 7-(4-[5-(2-chlorophenyi]-[1,2,4]oxadiazol-3-yl]methoxylphenyi]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyi) 890846-80-7P, 7-(4-[2-3]-(3-2)-3-binlorophenyi)-[1,2,4]oxadiazol-5-yl]ethyl]phenyi]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyi) 890846-81-8P, 7-[4-[2-3]-(2,6-Dichlorophenyi)-(1,2,4]oxadiazol-5-yl]ethyl]phenyi]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(3-methoxy-2-methylbenzyi) 890846-82-9P, 7-(4-[3-(2-chloro-3,6-difluorophenyi)-(1,2,4]oxadiazol-5-yl]methoxylphenyi]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxamide N-cyclopropyl-N-(2,3-dichlorobenzyi) 890846-83-0P, 7-(4-[3-(2-chloro-3,6-difluorophenyi) 890846-83-0P, 7-(4-[3-(2-chloro-3,6-difluorophenyi)-(3-0-chlorobenzyi)] 8100846-83-0P, 7-(4-[3-(2-chloro-3,6-difluorophenyi)-(3-0-chlorobenzyi)] 8100846-83-0P, 7-(4-[3-(2-chloro-3,6-difluorophenyi)-(2,3-dichlorobenzyi)] 8100846-83-0P, 8100846-8 İΤ (Therapeutic use); BIOL (Biological Study); FRET (FREPAREASM), USes) (drug candidate; preparation of diazabicyclononene derivs. as renin inhibitors)
890846-78-3 CAPLUS
3,9-Diazabicyclo(3,3.1)non-6-ene-6-carboxamide, 7-[4-[[5-(2-chloro-3,6-difluorophenyl]-1,2,4-oxadiazol-3-yl]methoxy]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN dichlorophenyl)methyl]- (9CI) {CA INDEX NAME}

890846-83-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-[2-chloro-3,6-difluorophenyl]-5-isoxazolyl]methoxy]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

LANGUAGE:

L4 ANSWER 4 OF 15
ACCESSION NUMBER:
DOCUMENT NUMBER:
15:46095
Dlazabicyclononene derivatives as renin inhibitors, their preparation, pharmaceutical compositions, and use in therapy
Bezencon, Olivier: Bur, Daniel: Fischli, Walter: Remen, Lubos: Richard-Blidstein, Sylvia: Sifferlen, Thierry; Weber, Hans-Peter: Weller, Thomas
Actelion Pharmaceuticals Ltd., Switz.
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
DATE OF THE TYPE PATENT ASPIRED PAT

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2006061791 WO 2006061791 A2 A3 20060615 WO 2005-IB54113 20051208 WO 2006061791

W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
KZ, LC, LK,
MZ, NA, NG,
SG, SK, SL,
VN, YU, ZA,
RW: AT, BE, BG,
13, IT, IT,
CF, CG, CI,
GM, KE, LS,
KG, KZ, MD,
PRIORITY APPLN. INFO:: 20060810 A3 2066810

AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, HR, HU, ID, II, IN. IS, JP, KE, KG, KM, KM, KP, KR, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, ZM, ZW

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, LU, LV, MC, NI, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CH, GA, GN, GQ, GW, HI, MR, NE, SN, TD, TG, BW, GH, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RU, TJ, TM

WO 2004-EP13949

A 20041208

WO 2004-EP13949 A 20041208

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to diazabicyclonomene derivative I, the racemate well as the individual emantiomers thereof, which are remin inhibitors. The invention also relates to the preparation of I, pharmaceutical compns. containing compound I and a pharmaceutically acceptable carrier material, as well

as to
the use of the compns. for the treatment of cardiovascular events and
renal insufficiency. Preparation of Grignard reagent from
1,4-dibromobenzene
followed by substitution of allyl bromide, hydroboration, oxidation, and
protection with tert-butyldimethylsilyl chloride gave bromide II.
O-Trifluoromethanesulfonylation of III followed by substitution with
lithiated II, demethylation, desilylation, N-protection, and coupling with

2-chloro-3,6-difluorophenol gave ether IV, which underwent ester hydrolysis, amidation with N-cyclopropyl-2,3-dichlorobenzylamine, deprotection, and chiral HPLC to give (1R,5s)-diazabicyclononene I. Compound (1R,5s)-I expresses an IC50 value of 0.5 nM in an assay for

ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN inhibition of human recombinant renin. 889881-63-4P (Continued)

889881-63-4P RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (chiral drug candidate; preparation of diazabicyclononene derivs. as

n inhibitors)
889881-63-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-{4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-, (1R,5s)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

889881-62-3P RL: PAC (Pharmacological activity); PEP (Physical, engineering or

RI: PRC (Pharmacological activity); PEP (Physical, engineering or nical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (racemic drug candidate; preparation of diazabicyclononene derivs. as

n inhibitors)
889881-62-3 CAPLUS
3,9-Diazabicyclo{3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[{2,3-dichlorophenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:542922 CAPLUS
DOCUMENT NUMBER: 145:28021
TITLE: Bicyclic lactam derivatives as renin inhibitors,
their

INVENTOR (S):

preparation, pharmaceutical compositions, and use in therapy

preparation, pharmaceutical compositions, and use therapy
Bezencon, Olivier; Boss, Christoph; Bur, Daniel;
Corminboeuf, Olivier; Fischli, Walter; Grisostomi
Corinna; Remen, Lubos; Richard-Bildstein, Sylvis;
Sifferlen, Thierry; Weller, Thomas
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 24 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE(S): SOURCE:

Patent English 2

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE		- 2	APPL	ICAT	ION :	NO.		D	ATE		
						-									-			
WO :	2006	0593	04		A2		2006	0608	- 1	WO 2	005-	IB54	800		21	0051	201	
WO :	2006	0593	04		A3		2006	1012										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GΕ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KM,	KN,	KP,	KR,	
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
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		٧N,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	
		ıs,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,	
		GΜ,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
					RU,													
WO :	2006	0585	46		A1		2006	0608	1	WO 2	004-	EP13	610		2	0041	201	
	W;						ΑU,											
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		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	ıs,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,	
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PRITY	APP	LN.	INFO	. :					1	WO 2	004-	EP13	610	- 1	A 2	0041	201	

OTHER SOURCE(S):

MARPAT 145:28021

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The invention relates to bicyclic lactam derivs. of formula I, which are renin inhibitors. In compds. I, W is 1,4-disubstituted phenylene; V is oxyalkyl, alkoxy, or oxyalkoy, V is (un)substituted phenyl: T is -C(0)N(R1)-, where R1 is alkyl or cycloalkyl; Q is alkylene; M is

ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(un)substituted Ph or (un)substituted pyridinyl; and J is H or lower
alkyl? including stereoisomers, solvates, polymorphs, and salts thereof.
The invention also relates to the prepn. of I, pharmaceutical compns.
contg. at least one compd. I and a pharmaceutically acceptable carrier
material, as well as to the use of the compns. for the treatment of
cardiovascular events and renal insufficiency. N-Protection of
DL-allylglycine followed by amidation with N-methylglycinate, cyclizative
deprotection, carboxylation, and hydride redn. gave piperazinone II,
th

which
was cyclized, oxidized, and carboxylated, resulting in the formation of
hicyclic compd. III. O-Trifluoromethanesulfonylation of III followed by
substitution with lithiated
4-[3-(tert-butyldimethylsityloxy)propyl]phenyl
bromide, desilylation, and coupling with 2-chloro-3,6-difluorophenol gave
ether IV, which underwent ester cleavage, amidation with
N-cyclopropyl-2,3-dichlorobenzylamine, and carbamate removal to give
bicyclic lactam V. Compd. V expresses IC50 value below 10 nM in an assay
for inhibition of human recombinant renin.
IT 889128-15-8P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREF (Preparation); USES
(Uses)

(drug candidate; preparation of bicyclic lactam derivs. as renin

(drug candidate, programs)
inhibitors)
RN 889128-15-8 CAPLUS
CN 3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-(3-(2-chloro-3,6-difluorophenoxy)]propyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-3-methyl-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 6 OF 15 CAPLUS COFFRIGHT 2007 ACS on STN (Continued) alkylene; M is (un)substituted phenyl; and J is H or lower alkyl; including stereoisomers, solvates, polymorphs, and salts thereof. The invention also relates to the prepn. of I, pharmaceutical compns. contg. at least one compd. I and pharmaceutically acceptable carrier materials

adjuvants, as well as to the use of the compns. for the treatment of cardiovascular events and renal insufficiency. N-Protection of Di-allylglycine followed by amidation with N-methylglycinate, cyclizative deprotection, carboxylation, and hydride redn. gave piperazinone II,

h was cyclized, oxidized, and carboxylated, resulting in the formation of bicyclic compd. III. O-Trifluoromethanesulfonylation of III followed by substitution with lithiated - (tert-butyldimethylatis)loxy)propyl]phenyl bromide, desilylation, and coupling with 2-chloro-3, 6-difluorophenol gave ether IV, which underwent ester cleavage, amidation with N-cyclopropyl-2,3-dichlorobenzylamine, and carbamate removal to give bicyclic lactam V. Compd. V expresses ICS0 value of 2.1 nM in an assay for inhibition of human recombinant renin.
889128-15-8P

RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(drug candidate; preparation of bicyclic lactam derivs. as renin

(drug candidate; preparation of bicyclic lactam derivs. as renin inhibitors)

RN 889128-15-8 CAPLUS

CN 3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophencyl)propyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-3-methyl-2-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2006:542920 CAPLUS
DOCUMENT NUMBER: 145:28020
TITLE: Bicyclic lactam derivatives as renin inhibitors,

preparation, pharmaceutical compositions, and use in preparation, pharmaceutical compositions, and use in therapy
Bezencon, Olivier; Remen, Lubos; Sifferlen, Thierry; Grisostomi, Corinna; Richard-Bildstein, Sylvia; Bur, Daniel; Boss, Christoph; Corminboeuf, Olivier; Fischli, Walter: Weller, Thomas Actelion Pharmaceuticals Ltd., Switz. PCT Int. Appl., 27 pp. CODEN: PIXXD2 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN		DATE				ICAT					ATE	
wo	2006	0585	46			_	2006									0041	201
	W:	AE.	AG,	AL,	AM,	AT,	AU,	AZ.	BA,	BB.	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE.	SG,	SK,	SL,	SY,
		TJ.	TM,	TN.	TR.	TT.	TZ.	UA.	UG.	US.	UZ.	vc.	VN.	YU,	ZA.	ZM.	2W
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		CG.	CI,	CM,	GA,	GN,	GQ.	GW,	ML.	MR.	NE.	SN,	TD,	TG,	BW,	GH,	GM,
		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,
		KZ,	MD,	RU,	TJ,	TM											
NO	2006	50593	04		A2		2006	0608	1	NO 2	005-	IB54	800		2	0051	201
WO	2006	50593	04		A3		2006	1012									
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	ΜA,	MD,	MG,	MK,	MN,	MW,	ΜX,
		MZ,	NΑ,	NG,	NI,	NO,	ΝZ,	ΟM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	υG,	υs,	υz,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,
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			CG,														
		GM,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	sz,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			ΚZ,			ΤJ,	TM										
			INFO												A 2		

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

MARPAT 145:28020

The invention relates to bicyclic lactam derivs. of formula I, which are renin inhibitors. In compds. I, W is 1,4-disubstituted phenylene; V is oxy-lower alkyl, lower alkoxy, or oxy-lower alkoxy. U is (un)substituted aryl: T is -C(0)N(R1)-, where Rl is lower alkyl or cycloalkyl: Q is lower

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006;333438 CAPLUS COPUMENT NUMBER: 144:350717

TITLE: Preparation of bicyclonomene derivatives as

inhibitors

OTHER SOURCE(S):

of renin
Bezencon, Olivier; Boss, Christoph; Bur, Daniel;
Corminboeuf, Olivier; Fischli, Walter; Grisostomi,
Corinna; Remen, Lubos; Richard, Sylvia; Sifferlen,
Thierry; Weller, Thomas
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 87 pp.
CODEN: PIXXD2
Patent INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D	ATE	
						-									-		
WO	2006	0213	99		A2		2006	0302	1	WO 2	005-	EP90	45		2	0050	822
WO	2006	0213	99		A3		2006	1026									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX.	MZ.	NA.
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT.	RO,	SE.	SI.	SK,	TR.	BF.	BJ,
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AB The invention relates to novel bicyclononene derivs. (I) [wherein X = NH, N(L), CH2, CH(L), O, S; W = Ph substituted by V in para-position; V = CH2N(R):CH2, CH2N(R):CH2, CH2CH2N(R):N, CH2CH2N(R):CH2, CH2CH2N(R):CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2):CH2CH2, CH2CH2N(R):CH2CH2, CH2CH2, CN2R2R3; SO2NR2R3; wherein R = H, alkyl, cycloalkyl, CH2CH2, R1 = alkyl, cycloalkyl, R2 = H, alkyl, alkenyl, cycloalkyl, cycloalkyl, Cycloalkylalkyl; R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, cycloalkylalkyl; R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, cycloalkyl, cycloalkyl, cycloalkyl, cycloalkyl, cycloalkyl, cycloalkyl, R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, Cycloalkyl, Cycloalkyl, R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, Cycloalkyl, R3 = aach (un) substituted alkyl, cycloalkyl, cycloalkyl, Cycloalkyl, Cycloalkyl, R3 = aach (un) substituted alkyl, cycloalkyl, Cyc

treatments with immunosuppressive agents, and other diseases known to be related to the renin-angiotensin system. Thus, 2-chloro-3,6-diffluorobenzaldehyde underwent reductive amination with 6-{cyclopropyl(3-methoxy-2-methylbenzyl)carbamoyl]-7-[4-(2-

methylaminoethyl)phenyl)-3,9-diazabicyclo{3.3.1}non-6-ene-3,9-dicarboxylic

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

2-methylbenzyl)-M-methylamino|ethyl|phenyl|-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methyly-2-methylbenzyl)anide

881849-34-99, 7-[4-[2-[N-(2-Chloro-3,6-difluorobenzyl)-N-methylamino|ethyl|phenyl|-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)anide 881849-33-09

7-[4-[2-[N-(2-Chloro-6-fulorobenzyl)-N-methylamino|ethyl|phenyl|-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methylamino|ethyl|phenyl)-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methylamino|ethyl|phenyl)-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methylamino|ethyl|phenyl)-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) anide 881849-37-2P, 7-[4-[2-N-(2-Chlorobenzyl)-N-2-methylamino|ethyl|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) anide 881849-39-4P, 7-[4-[2-N-(2-6-Dichlorobenzyl)-N-methylamino|ethyl|phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) anide 881849-40-7P, 7-[4-[(N-[2-[2-4-Dimethylphenyl)-N-methylamino|methylphenyl)-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-methylamino|methylphenyl-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-methylamino|methylphenyl-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-cyclopropyl-N-(3-methyl-N-2-2-methylbenzyl)-N-methylamino|methylphenyl-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-methylamino|methylphenyl-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-methylamino|methylphenyl-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-N-methylamino|methylpheny

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) acid di-tert-Bu ester followed by treatment with 4 M HCl/dioxane in CH2Cl2

to give 7-[4-[2-[(2-Chloro-3,6-difluorobenzyl)methylamino]ethyl]phenyl]3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
cyclopropyl(3-methoxy-2methylbenzyl)amide (II). II showed IC50 of 2.4 nM against recombinant

cyclopropyl(3-methoxy-2methylbenzyl)amide (II). II showed IC50 of 2.4 nM against recombinant human renin.

IT 881849-16-7P, 7-[4-[3-[N-(2,4-Difluorophenyl)-Nmethylamino|propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-17-8P
, 7-[4-[3-(N-Methyl-N-phenylamino)propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-18-9P, 7-[4-[3-(N-Methyl-N-(m-tolyl)amino|propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-19-0P
, 7-[4-[3-(N-Methyl-N-(o-tolyl)amino|propyl)phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-19-0P
, rethylbenzyl)amide 881849-20-3P, 7-[4-[3-(N-(2-Chlorophenyl)-N-methylamino|propyl)phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-21-4P
, 7-[4-[3-(N-(3-Chlorophenyl)-N-methylamino|propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-22-5P, 7-[4-[2-(N-Methyl-N-phenylamino)ethoxylphenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-22-5P, 7-[4-[2-(N-Methyl-N-phenylamino)ethoxylphenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-22-5P, 7-[4-[2-(N-Methyl-N-polyl-N-methylamino]ethyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-24-7P, 7-[4-[2-(N-[4,5-)-pichloroisothiazol-3-yl])arboxyl-2-methylbenzyl)amide 881849-24-7P, 7-[4-[2-(N-[4,5-)-pichloroisothiazol-3-yl])arboxyl-2-methylbenzyl)amide 881849-24-7P, 7-[4-[2-(N-[4,5-)-pichloroisothiazol-3-yl])arboxyl-2-methylbenzyl-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl

N-methylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-{3-methoxy-2-methylbenzyl)amide 881849-26-9P

acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) amide 881849-26-99
7-[4-[[N-(2-Chloro-6-fluoro-3-methylbenzyl)-N-methylamino]methyl]phenyl]3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl) amide 881849-27-07, 7-[4-[[N-(2-Chloro-3,6-difluorobenzyl)-N-methylamino]methyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
N-cyclopropyl-N-[3-methoxy-2-methylbenzyl]-anide 881849-28-1P, 7-[4-[[N-(2-Chloro-4-fluorobenzyl)-N-methylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-amide 881849-29-2P, 7-[4-[[N-(2-Chloro-6-fluorobenzyl)-N-methyl]henyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-Mhentyl]henyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-Mhentylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-mide 881849-32-7P, 7-[4-[[N-(2,6-Dichlorobenzyl)-N-methylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylamino]methyl]phenyl]-3,9-diazabic

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-[4-[[N-Ethyl-N-(2,3,6-trichlorobenzyl]amino]methyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-55-4P, 7-[4-[[N-(2-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-55-54P, 7-[4-[[N-(2-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-56-5P,
7-[4-[[N-(2,6-bifluorobenzyl)-N-ethylamino]methyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-57-6P, 7-[4-[[N-Ethyl-N-(2,4,6trifluorobenzyl)amino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6carboxylic acid N-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-59-7P, 7-[4-[[N-(2-chloro-6-fluoro-3-methylbenzyl]-Nethylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-59-8P,
7-[4-[[N-(2,4-Dichlorobenzyl)-N-ethylamino]methyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-60-1P, 7-[4-[[N-(2-chloro-6-

dichlorobenzyl) amide 881849-60-1P, 7-[4-[N-(2-chloro-6-fluorobenzyl)-N-ethylamino]methyl]phenyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2, 3-dichlorobenzyl) amide 881849-61-2P, 7-[4-[N-Ethyl-N-(2-fluoro-5-methoxybenzyl)amino]methyl]phenyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2, 3-dichlorobenzyl) amide 881849-62-3P, 7-[4-[N-Ethyl-N-(2-fluoro-6-trifluoromethylbenzyl]amino]methyl]phenyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2, 3-dichlorobenzyl) amide 881849-63-4P, 7-[4-[[N-(2,5-Dichlorobenzyl]-N-ethylamino]methyl]phenyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2, 3-dichlorobenzyl] amide 881849-64-5P, 7-[4-[[N-(2,5-Dichlorobenzyl]-N-ethylamino]methyl]phenyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3, 3-methoxyl) amide 881849-64-7P, 7-[4-[N-(2,6-Dichlorobenzyl)-N-didazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3, 3-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3, 3-dichlorobenzyl) amide 881849-66-7P, 7-[4-[(N-(2,3-Difluorobenzyl)-N-methylbenzyl)] amide 881849-66-7P, 7-[4-[(N-(2,3-Difluorobenzyl)-N-methylbenzyl)] amide 881849-66-7P, 7-[4-[(N-(2,3-Difluorobenzyl))-N-methylamino]methyl]phenzyl]-3, 9-diazabicyclo[3, 3, 1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3, 3-methoxy-2-methylbenzyl) amide 881849-66-7P, 7-[4-[(N-(2,3-Difluorobenzyl))-N-methylamino]methyl]phenzyl]-N-methylamino]methyl]phenzyl]-N-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]methyl]phenzyl]-N-M-methylamino]me

7-[4-[2-[N-(3-Chloro-2,6-difluorobenzyl)-N-cyclopropylamino]ethyl]phenyl
]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
N-cyclopropyl-N-(2,3dichlorobenzyl)amide 881849-68-9P, 7-[4-[[N-(3-Chloro-2-

dichlorobenzyl)amide 881849-68-9P, 7-[4-[[N-(3-chloro-2-fluorobenzyl)-N-isopropylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-69-0P, 7-[4-[[N-(3-chloro-2,6-difluorobenzyl]-N-cyclopropylamiolmethyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-70-3P, 7-[4-[(N-cyclopropyl-N-2,3-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-71-4P, 7-[4-[(N-cyclopropyl-N-2,3-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-12,3-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-2,3-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-72-5P, 7-[4-[(N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-73-6P, 7-[4-[(N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-73-6P, 7-[4-[(N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-73-6P, 7-[4-[(N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-73-6P, 7-[4-[(N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
881849-74-7P, 7-[4-[[N-(2-Cyanobenzyl)-Ncyclopropylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide
881849-75-8P, 7-[4-[[N-(cyclopropyl-N-[quinolin-4yl]methyl]amino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide
881849-76-9P, 7-[4-[[N-(6-Chloro-2-fluoro-3-methylbenzyl]-Ncyclopropylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide
881849-77-0P, 7-[4-[[N-(cyclopropyl-N-(2-fluoro-6trifluoromethylbenzyl)amino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide
881849-78-1P, 7-[4-[3-N-(2-fluoro-5-methylbenzyl]-Nmethylamino[propyl]henyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-zenethylbenzyl)amide 881849-79-2P
, 7-[4-[3-[N-(2,3-Dichlorophenyl)-N-methylamino]propyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
N-cyclopropyl-N-(3-methoxy-2-zenethylbenzyl)amide 881849-79-2P

-2-methylbenzyl)amide 881849-80-5P, 7-[4-[3-[N-(2,6-

Precyclopropyl=N=(3-methoxy=
2-methylbenzyl) amide 881849-80-5P, 7-[4-[3-[N-(2,6Difluorophenyl)-N-methylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6.ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide
881849-81-6P, 7-[4-{3-[N-(2,6-Dichlorophenyl)]-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-82-7P
, 7-[4-[3-[N-(2,5-Difluorophenyl)-N-methylamino]propyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide 881849-83-8P, 7-[4-[3-[N-(5-Chloro-2methylbenzyl) amide 881849-83-8P, 7-[4-[3-[N-(5-Chloro-2methylbenzyl)-N-methylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)amide
881849-84-9P, 7-[4-[3-N-(2,5-Dichlorophenzyl)amide 881849-85-0P,
, 7-[4-[3-[N-(3-Fluoro-2-methylphenyl)-N-methylamino]propyl]phenyl]-3,9diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid
N-cyclopropyl-N-(3-methoxy-2-methylphenzyl)amide 881849-81-9P,
2-methylbenzyl)amide 881849-86-1P, 7-[4-[3-[N-(5-Fluoro-2methylphenyl)-N-methylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylphenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6ene-6-carboxylic acid N-cyclopropyl-N-(3-methoxy-2-methylphenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-methylphenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-methylbenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-chithylbenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-chithylbenzyl)-Nmethylamino]propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic
acid N-cyclopropyl-N-(3-methoxy-2-chithylbenzyl)-Nmethylamino]propyl]phen

N-(2,2,2-trifluoroethyl) amino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide 881849-90-7P, 7-[4-[N-3-chloro-2-fluorobenzyl]-N-iaopropylamino]methyl]phenyl]-3,9-diazabicyclo[3.3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-(2,3-dichlorobenzyl)amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therspeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(uses)
(prepn. of bicyclononene derivs. as inhibitors of renin for prophylaxis
or treatment of diseases related to the renin-angiotensin system)
RN 881849-16-7 CAPLUS

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

881849-20-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-[(2-chlorophenyl)methyl]amthno]propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-21-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-[(3-chlorophenyl)methyl]amlno]propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-22-5 CAPLUS
3,9-Diarabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methyl)methyl]-7-[4-[2-(methylphenylamino)ethoxy]phenyl]-(9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[3-[2,4-difluorophenyl]methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl]methyl]- (9CI) (CA IMBEX NAME)

881849-17-8 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-[4-[3-(methylphenylamino)propyl]phenyl]-(9CI) (CA INDEX NAME)

881849-18-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-[4-[3-[methyl[3-methylphenyl)amino]propyl]phenyl]- (9CI) (CA INDEX NAME)

881849-19-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-[4-[3-[methyl](2-methylphenyl)amino]propyl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-23-6 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-{4-[2-{[3-chloro-2,6-df1lucobenzoyl]methylamino]ethyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[[(4,5-dichloro-3-isothiacoly])carbonyl]methylaminojethyl]phenyl]-N-[(3-methoxy-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

RN 881849-25-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[[[2,4dichlorophenyl]methyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 881849-26-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[[[2-chloro-6-fluoro3-methylphenyl]methyl]methylamino]methyl]phenyl]-N-cyclopropyl-N-[(3-methydxy-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

(Continued)

881849-27-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[{[(2-chloro-3,6-difluorophenyl)methyl]methylamino|methyl]phenyl}-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-28-1 CAPLUS 3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, 7-[4-[[[(2-chloro-4-

fluorophenyl)methyl]methylamino]methyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-32-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
clopropyl-7-(4-[[[2,6dichlorophenyl)methyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-33-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-[[(2-chloro-6-

fluoro-3-methylphenyl)methyl]methylamino]ethyl)phenyl)-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-34-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-[[(2-chloro-3,6-difluorophenyl)methyl]methylamino]ethyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX:NAME)

881849-29-2 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(2-chloro-6-

fluorophenyl)methyl]methylamino]methyl]phenyl]-N-cyclopropyl-N-{(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 881849-30-5 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[[[[2,3dichlorophenyl]methyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-31-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-{4-[[[(2-

chlorophenyl)methyl]methylamino]methyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-35-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-[[(2-chloro-6-

fluorophenyl)methyl]methylamino|ethyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-36-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[(2,3-dichlorophenyl)methyl]methylamino]ethyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

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881849-37-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-[[(2-

chloropheny1)methy1]methy1amino]ethy1]pheny1]-N-cyclopropy1-N-[(3-methoxy-2-methy1pheny1)methy1]- (9CI) (CA INDEX NAME)

881849-39-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[[2,6-dichlorophenyl]methyl]methylamino]ethyl]phenyl]-N-[[3-methoxy-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

(Continued)

881849-40-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[[2-(2,4-dimethylphenoxy)ethyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 881849-41-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[[[(2,6-

dichloro-4-methylphenyl]methyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

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881849-45-2 CAPLUS
3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-[(2,6-dimethylphenyl)methyl]methyl]methylphenyl)methyl]- (3CI (CA INDEX NAME)

881849-46-3 CAPLUS

3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-(4-[2-[methyl](2,3,6-trichlorophenyl)methyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

881849-47-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-[4-{[methyl](2,3,6-trichlorophenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

881849-42-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(2-chlorophenyl]methyl]cyclopropylamino]methyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-43-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]-7-[4-[[methyl]2-(2,3,6-trichlorophenyl)ethyl]amino]methyl]phenyl)- (9CI) (CA INDEX NAME)

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881849-44-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-[[(3-

chlorophenyl)methyl]methylamino]ethyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-48-5 CAPLUS 3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(3-chloro-2,6-difluorophenyl)methyl]ethylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-49-6 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[3-chlorophenyl]methyl]ethylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-50-9 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-

881849-51-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-

(Continued)

881849-52-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(2-chloro-3,6-difluorophenyl)methyl]ethylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-53-2 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[{2,3-dichlorophenyl)methyl]-7-[4-[[[(2,2-difluoro-1,3-benzodioxol-4-y1)methyl]ethylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-{(2,3dichlorophenyl)methyl]-7-[4-[[(2,6-difluorophenyl)methyl]ethylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)

881849-57-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3dichlorophenyl}methyl]-7-[4-[[ethyl[(2,4,6-trifluorophenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 881849-58-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[[(2-chloro-6-fluoro3-methylphenyl)|methyl]ethylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (SCI) (CA INDEX NAME)

881849-59-8 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3dichlorophenyl)methyl]-7-[4-[[({2,4-dichlorophenyl)methyl]ethylamino]methy

881849-54-3 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[ $\{2,3-1\}$ ] 

seleay-35-4 CAPLUS 3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide, 7-[4-[[[(2-cyanophenyl)methyl]ethylamino|methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (SI) (CA INDEX NAME)

881849-56-5 CAPLUS

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN 1]pheny1]- (9CI) (CA INDEX NAME)

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881849-60-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[(2-chloro-6-fluorophenyl)methyl]ethylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-61-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-7-[4-[[ethyl1((2-fluoro-5-methoxyphenyl)methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

881849-62-3 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-{{2,3-dichlorophenyl)methyl}-7-[4-{[ethyl][2-fluoro-6-(trifluoromethyl)phenyl]methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

881849-63-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-

RN 881849-64-5 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-14-[[[(2.6dimethylphenyl]methyl]methylamino]methyl]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 881849-65-6 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[[[6-chloro-2-fluoro3-methylphenyl]methyl]methylamino]methyl]phenyl]-N-cyclopropyl-N-[(3-methylphenyl)phenyl)methyl]- [9CI] (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-69-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(3-chloro-2,6-difluorophenyl)methyl]cyclopropylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-70-3 CAPLUS
3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-{4-[cyclopropyl(2,6-dichlorophenyl]methyl]amino|methyl]phenyl]-N-{(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-71-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[cyclopropyl[(2,3-dichlorophenyl]methyl]amino]methyl]phenyl]-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

RN 881849-66-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[[[2,3difluorophenyl]methyl]methylamino]methyl]phenyl]-N-[[3-methoxy-2methylphenyl]methyl]- (9CI) (CA INDEX NAME)

881849-67-8 CAPLUS 3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, 7-[4-[2-[[(3-chloro-2,6-

difluorophenyl)methyl]cyclopropylamino]ethyl]phenyl}-N-cyclopropyl-N-{(2,3-dichlorophenyl)methyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \text{C1} \\ & \text{C-N-CH}_2 & \text{C1} \\ & \text{CH}_2 - \text{CH}_2 - \text{N-CH}_2 \\ & \text{F} \end{array}$$

881849-68-9 CAPLUS
3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, 7-[4-[[[(3-chloro-2-fluorophenyl)methyl](1-methylethyl)amino]methyl)phenyl)-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

881849-72-5 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[[cyclopropyl[(2,3,6-trichlorophenyl)methyl]amino]methyl]phenyl]-N-{[2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

881849-73-6 CAPLUS

303037-3-6
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[[cyclopropyl](acf-dimethylphenyl)methyl]amino|methyl|phenyl]-N-[(2,3-dichlorophenyl)methyl)- (9C1) (CA INDEX NAME)

881849-74-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(2-cyanophenyl)methyl]cyclopropylamino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9C) (CA INDEX NAME)

881849-75-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[cyclopropyl(4-quinolinylmethyl)amino]methyl]phenyl]-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

(Continued)

RN 881849-76-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[[[6-chloro-2-fluoro3-methylphenyl]methyl]cyclopropylamino]methyl]phenyl]-N-cyclopropyl-N(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-80-5 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[3-(2,6-difluorophenyl)methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-81-6 CAPLUS
3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, N-cyclopropyl-7-{4-[3-(2,6-dichlorophenyl)methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-82-7 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[3-[(2,5-difluorophenyl)methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-77-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-

[[cyclopropy1[[2-fluoro-6-(trifluoromethy1)pheny1]methy1]amino]methy1]phen y1]-N-[(2,3-dichloropheny1)methy1]- (9CI) (CA INDEX NAME)

RN 881849-78-1 CAPLUS
CN 3,9-Dlazablcyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[3-[(2-fluoro-5-methylphenyl]methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-79-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-{3-(2,3-dichorophenyl)methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

881849-83-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-[(5-chloro-2-methylphenyl)methylamino]propyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-84-9 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[3-[(2,5-dichlorophenyl)methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-85-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
clopropyl-7-[4-[3-[43-fluoro-2-methylphenyl]methylamino]propyl]phenyl]-N-[(3-methoxy-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 881849-86-1 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-]3-[(5fluoro-2-methylphenyl]methylamino]propyl]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

(Continued)

881849-87-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-[(2-chloro-5-methylphenyl)methyl]-m-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

881849-88-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(3-chloro-2,6-difluorophenyl)methyl]]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 8 0F 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:193834 CAPLUS
DOCUMENT NUMBER: 144:274301
TITLE: Preparation of diazabicyclononenylacetamides as renin inhibitors.

INVENTOR(S):

inhibitors.

Bezencon, Olivier; Boss, Christoph, Bur, Daniel;
Corminboeuf, Olivier; Fischli, Walter; Grisostomi,
Corinna; Remen, Lubos; Richard, Sylvia; Sifferlen,
Thierry; Weller, Thomas
Actelion Pharmaceuticals Ltd, Switz.
PCT Int. Appl., 46 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT				KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
WO	2006	0214			A1	-	2006	0302		WO 2	005-	EP90	50		- 2	0050	822
	W:	AE,	AG,	AL.	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR.	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GΜ,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
100			THE								^^4		7.4			^^ *	200

MARPAT 144:274301

Title compds. (I; Z = NH, NL, CH2, CHL, O, S; W = Ph substituted by V in para position; V = oxyalkylene, oxyalkyleneoxy; U = (substituted) aryl;

Y = bond, alkylene: Q = CONR1; M = (substituted) Ph, pyridyl; L = R3, COR3, COR8, CONR2R3, SO2R3, SO2NR2R3; R1 = alkyl, cycloalkyl; R2 = H, alkyl, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl; with a proviso], were prepared Thus,

racemic
N-(2-chlorobenzyl)-2-[(lR\*,55\*,6R\*)-7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-3,9-diazabicyclo[3.3.1]non-7-en-6-yl]-N-cyclopropylacetamide (multistep preparation given) inhibited renin with IC50 =

# 1.4 nM. 877995-56-7P 877995-57-8P 877995-58-9P 877995-60-3P 877995-62-5P

F3C CH2

881849-89-4 CAPLUS

RN 881849-89-4 CAPLUS
CN 3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-{4-[[[3-chloro-2-fluoro-

6-(trifluoromethyl)phenyl]methyl]{2,2,2-trifluoroethyl}amino]methyl]phenyl
]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- {9CI} (CA INDEX NAME)

881849-90-7 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[[(3-chloro-2-fluorophenyl)methyl](1-methylethyl)amino]methyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 877995-64-7P 877995-66-9P 877995-67-0P 877995-92-9 877995-71-6F RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(claimed compd.; prepn. of diazabicyclononenylacetamides as renin inhibitors)
7
877995-55-6 CAPLUS
3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N--[(2-chlorophenyl)methyl]-N-cyclopropyl-, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

877995-56-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy]propyl]phenyl]-N-cyclopropyl-N-[(2-fluoro-5-methoxyphenyl)methyl]-, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

(Continued)

RN 877995-57-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6difluorophenoxylpropyl]phenyl]-M-cyclopropyl-N-[(3-methoxy-2methylphenyl)methyl]-, (1R,55,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 877995-58-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2-methoxy-3-methyl-4-pyridinyl]methyl)-, (IR,55,6R)-rel- [9C] (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 877995-64-7 CAPLUS
3,9-Diazabicyclo(3.3.1)non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6difluorophenoxy)propyl]phenyl]-M-cyclopropyl-N-[(2,3dimethylphenyl)methyl]-, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 877995-66-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-methyl-N-(phenylmethyl)-,
(lR,55,6R)-rel[9CI] (CA INDEX NAME)

Relative stereochemistry.

RN 877995-60-3 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy]propyl]phenyl]-N-cyclopropyl-N-[(3-methoxyphenyl)methyl]-,
(1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 877995-62-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy]propyl]phenyl]-N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN · (Continued)

RN 877995-67-0 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difuorophenoxy)propyl]phenyl]-N-[(2-chlorophenyl)methyl]-N-ethyl-, (1R,5S,6R)-rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 877995-69-2 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy]propyl]phenyl)-M-cyclopropyl-N-[(3,5-dimethoxyphenyl)methyl]-, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

877995-71-6 CAPLUS 3,9-Diazabicyclo[3,3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(3,4-dimethoxyphenyl)methyl]-, (1R,55,6R)-rel- (9CI) (CA INDEX NAME) RN CN

#### Relative stereochemistry.

877996-05-9P 877996-06-0P 

ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN NAME)

● HC1

877996-06-0 CAPLUS 3,9-Diazabicyclo[3.3.1]non-7-ene-6-acetamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[(2-methoxy-3-methyl-4-pyridinyl)methyl]-, monohydrochloride, (1R,5S,6R)-rel- (9CI) (CA INDEX NAME)

## Relative stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:193413 CAPLUS
DOCUMENT NUMBER: 144:274299
TITLE: Preparation of diarabicylononenes as renin inhibitors.
INVENTOR(S): Bezencon, Olivier: Boss, Christoph; Bur, Durier: Christ

Bezencon, Olivier; Boss, Christoph, Bur, Daniel; Corminboeuf, Olivier; Fischli, Walter; Grisostomi, Corinna; Remen, Lubos; Richard, Sylvia; Sifferlen, Thierry; Weller, Thomas Actelion Pharmaceuticals Ltd., Switz. PCT Int. Appl., 98 pp. CODEN: PIXXD2 Patent English

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO: KIND DATE APPLICATION NO. DATE PATENT NO:

WO 2006021401

WE AE, AG,
CN. CO,
GE, GH,
LC, LK,
NG, NI,
SL, SM,
2A, ZM,
RW: AT, BE,
CF, CG,
GM, KE,
KG, KZ, A2 20060302 A3 20060413 AM, AT, AU, AZ, BA, CU, CZ, DE, DK, DM, HR, HU, ID, IL, IN, LS, LT, LU, LV, MA, NZ, OM, PG, PH, PL, TJ, TM, TN, TR, TT, WO 2005-EP9048 20050822 BB, DZ, IS, MD, PT, BR, BW, EE, EG, KE, KG, MK, MN, RU, SC, UG, US, CA, CH, GB, GD, KR, KZ, MZ, NA, SG, SK, VN, YU, BG, EC, JP, MG, RO, UA, BY, ES, KM, MW, SD, UZ, BZ, FI, KP, MX, SE, VC, AL, CR, GM, LR, NO, SY, ZW BG, LT, CI, LS, MD, CH, CY, CZ, DE, DK, EE, ES, LU, LV, MC, NL, PL, PT, RO, CM, GA, GN, GQ, GW, ML, MR, MW, MZ, NA, SD, SL, SZ, TZ, RU, TJ, TM FI, FR, SE, SI, NE, SN, UG, ZM, GB, GR, HU, IE, SK, TR, BF, BJ, TD, TG, BW, GH, ZW, AM, AZ, BY, PRIORITY APPLN. INFO.: A 20040825

OTHER SOURCE(S):

CASREACT 144:274299; MARPAT 144:274299

Title compds. [I; X = NH, NL, CH2, CHL, O, S; W = 1,4-phenylene; V = E1ZE2; E1 = bond, CH2; E2 = O, CH2O; Z = pyrrolidinylene, azetidinylene; AB

U = (substituted) aryl, 5-membered heteroaryl; T = CONRI; Q = CH2; M = (substituted) Ph, pyridyl; L = R3, COR3, CO2R3, CONR2R3, SO2R3, SO2R3, SO2R3, R1 = aikyl, cycloaikyl; R2 = H, aikyl, alkenyl, cycloaikyl, cycloaikyl; R3 = (substituted) alkyl, cycloaikyl, cycloaikylakyl; With a provisol, were prepared Thus, cycloaikyl, cycloaikylakyl; with a provisol, were prepared Thus, eycloaikylakyl, cycloaikylakyl; with a provisol, were prepared Thus, eycloaikylakyl, cycloaikylakyl; with a provisol, were prepared Thus, eycloaikylaikyl; with a provisol, were prepared Thus, cycloaikylaikyl; with a provisol, were prepared Thus, eycloaikylaikyl; with a provisol, were prepared to prep

ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(claimed compd.; prepn. of diazabicylononenes as reni inhibitors)
878012-13-6 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-((3-methoxyy-2-methylyhenyl)methyl]-7-[4-{(13S)-3-(2,3,6-trifluorophenoxy)-1-pyrrolidinyl]methyl]phenyl)- (9CI) (CA INDEX NAME)

RN 878012-14-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[f[(33)3-(2,6-dichlorophenoxy)-1-pyrrolidinyl]methyl]phenyl]-N-[(3-methoxy-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 878012-15-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[[(38)3-(2,6-dichloro-d-fluorophenoxy)-1-pyrrolidinyl]methyl]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (SCI) (CA INDEX NAME)

## Absolute stereochemistry.

#### L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

878012-27-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
clopropyl-7-{4-[{13R}3-(2,3-difluorophenoxy)-1-pyrrolidinyl]methyl]phenyl]-N-({3-methoxy-2methylphenyl)methyl)- (9CI) (CA INDEX NAME)

878013-39-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES IT

(Uses)
(preparation of diazabicylononenes as renin inhibitors)
RN 878013-39-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[(33)-3-(2-chloro-6-

fluoro-3-methylphenoxy)-1-pyrrolidinyl]methyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

878012-16-9 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[[(35)-3-(2-chloro-3,6-difluorophenoxy)-1-pyrrolidinyl]methyl]phenyl]-N-cyclopropyl-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 878012-17-0 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
7-[4-[[(3R)-3-(2-chloro-6-

fluoro-3-methylphenoxy)-1-pyrrolidinyl}methyl]phenyl}-N-cyclopropyl-N-[(3methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:523456 CAPLUS LOCUMENT NUMBER: 143:660005 143:60005 Preparation of diazabicyclononene derivatives and their use as renin inhibitors Bezencon, Olivier: Richard-Bildstein, Sylvia; Bur, Daniel: Fischli, Walter; Weller, Thomas; Remen,

INVENTOR (S) :

Sifferlen, Thierry Actelion Pharmaceuticals Ltd., Switz. PCT Int. Appl., 42 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE W: AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LK, LR, LS,
NO, NZ, OM,
TJ, TM, TN,
RW: BW, GH, GM,
AZ, BY, KG,
EE, ES, FI,
SE, SI, SK,
NE, SN, TD,
AU 200425091
CA 2547547
EP 1692133
R: AT, BE, CH,
IE, SI, FI,
CN 1890240
JP 2007513106
US 2007111999
IN 2006CN02464
PRIORITY APPLN. INFO.: WO 2005054243 Al 20050616 W0 2004-EP13578 2
AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
IT, IU, LY, MA, MD, MG, MK, MN, MM, MX, MZ,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
KZ, MD, RU, TJ, TM, AT, BE, BG, CK; CY, CZ,
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
TG
A1 20050616 AU 2004-295091 Al 20050616 WO 2004-EP13578 20041130 BZ, CA, CH, FI, GB, GD, KR, KZ, LC, FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW ZM, ZW, AM, CZ, DE, DK, PL, PT, RO, GW, ML, MR, AU 2004-25091 CA 2004-2547547 EP 2004-819638 GB, GR, IT, LI, LU, CZ, EE, HU, PL, SK, CN 2004-8003599 JP 2006-541864 US 2006-581829 IN 2006-CN2464 WO 2003-EP313767 20050616 20050616 20060823 , ES, FR, , TR, BG, 20070103 20070524 20070517 20070608 20041130 20041130 A1 DE, DK, RO, CY, A T A1 A 20041130 20041130 20060602 20060705 20031205

WO 2004-EP13578

20041130

OTHER SOURCE(S):

MARPAT 143:60005

Novel 3,9-diazabicyclo[3.3.1] nonene derivs. of formula (I) [X, W = N, CH; AB

ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

854053-00-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[3-(2-methoxyethoxy)-2-methylphenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
V = (CH2)r, A-(CH2)s, CH2-A-(CH2)t, (CH2)s-A, (CH2)2-A-(CH2)u,
A-(CH2)v-B,

(CH2)3-A-CH2, A-CH2CH2-B-CH2, CH2-A-CH2CH2-B, (CH2)3-A-CH2-CH2, etc.; A,

= O, S, S(O), S(O)2; U = aryl, heteroaryl; T = CONR1, (CH2)pOCO, (CH2)pN(R1)CO, (CH2)pN(R1)SO2, CO2; Q = lower alkylene or alkenylene; M = aryl-O(CH2)vR7, heteroaryl-O(CH2)vR7, aryl-O(CH2)vC(CH2)vR7, heteroaryl-(CH2)vG(CH2)wR7, etc.; L = R3, COR3, COR3, CONR2R3, SO2R3, SO2R3R2R3, COCH(Aryl)2; R1 = H, lower alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, cycloalkyl-lower alkyl; R2, R2' = H, lower alkyl or alkenyl, cycloalkyl-lower alkyl; R3 = H, each (un)substituted lower alkyl or alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl-lower alkyl, aryl-lower alkyl, heteroaryl-lower alkyl, etc.;

R5 = OH, lower alkoxy, -OCOR2, CO22, NR2R2', OCONR2R2', NCONR2R2', cyano, CONR2R2', SO3H, SONR2R2', Co-morpholin-4-yl,

CO-[(4-loweralkyl)perazin-1yll, -NN(NH)NH2, etc.; R6 = OH, OR2, OCOR2, OCOOR2; or R6 and R5 form together with the carbon atoms to which they are attached a (un)substituted 1,3-dioxolane ring; R7 = lower alkoxy; m, n, z = 0, 1; P.

t, v = 1-4; r = 3-6; s = 2-5; u = 1-3, w = 1,2 integer) and optically

enantiomers, their mixts. pharmaceutically acceptable salts, solvent complexes, and morphol. forms. These compds. are renin inhibitors and useful for the treatment or prophylaxis of disorders which are assocd. with dysregulation of the renin-angiotensin system (RAS). The disorders include cardiovascular and renal diseases hypertension, congestive heart failure, pulmonary hypertension, cardiac insufficiency, renal insufficiency, renal or myocardial ischemia, atherosclerosis, renal failure, erectile dysfunction, glomerulonephritis, renal colic, glaucoma, diabetic complications, complications after vascular or cardiac surgery, restenosis, complications of treatment with immunosuppressive agents

organ transplantation, and other diseases known to be related to the RAS. For example, 7-[4-[3-[2-chloro-3,6-difluorophenoxy]propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-[[2-(2-methoxyethoxy)-3-methylpyridin-4-yl]methyl]amide and -[3-(2-Chloro-3,6-difluorophenoxy)propyl]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid N-cyclopropyl-N-[3-(2-methoxyethoxy)-2-methylbenzyl]amide showed ICSO of 1.00 and 1.05 nM, resp., against human recombinant renin. 854052-99-6P 854053-00-2P RL: PRC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use): BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of diazabicyclononene derivs. as renin inhibitors for

(Deca; (preparation of diazabicyclononene derivs. as renin inhibitors for treatment

tment
 or prophylaxis of disorders associated with dysregulation of
 renin-angiotensin system)
854052-99-6 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chloro-3,6difluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[2-(2-methoxyethoxy)-3methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER: 142:463754
TITLE: 142:463754
Preparation of diazabicyclononene derivatives as inhibitors of renin
Bezencon, Olivier; Sifferlen, Thierry; Bur, Daniel; Fischli, Walter; Weller, Thomas; Remen, Lubos; Richard-Bildstein, Sylvia
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 37 pp.
COODE: PIXXD2
DOCUMENT TYPE: PATCH Appl., 37 pp.
COODE: PIXXD2
FAMILY ACC. NUM. COUNT: 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT										ICAT				D	ATE	
	WO	2005														2	0041	007
		W:	ΑE,	AG,	AL,	ΑM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GΕ,	GH,	GΜ,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MΑ,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	ΝA,	NI,
												SC,						
												UZ,						
		RW:	BW,	GH,	GΜ,	ΚE,	LS,	MW,	ΜZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
												BE,						
												LU,						
							ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,
					TG													
	ΑU	2004 2540	2838:	21		A1		2005	0506		AU 2	004-	2838	21		2	0041	007
	CA	2540	782			Al		2005	0506		CA 2	004-	2540	782		2	0041	007
	EP	1678																
		R:										IT,			NL,	SE,	MC,	PΤ,
			ΙE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	ЕÉ,	ΗU,	PL,	sĸ				
	CN	1867	568			Α		2006	1122		CN 2	004-	8002	9967		2	0041	007
	JР	1867 2007 2006	5082	62		Т		2007	0405		JP 2	006-	5301	10		2	0041	007
	IN	2006	CN01	640		A		2007	0608		IN 2	006-	CN16	40		2	0060	511
PRIOR	RITY	APP	LN.	INFO	. :						WO 2	003-	EP11	316	i	A 2	0031	013
											WO 2	003-	EP31	1316	i	A 2	0031	013
											WO 2	004-	EP11	186	1	W 2	0041	007

OTHER SOURCE(S): MARPAT 142:463754

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

H, alkyl, alkenyl, etc.; Q = alkylene, alkenylene; M = aryl-O(CH2)qR2, heteroaryl-O(CH2)qR2, aryl-O(CH2)2O(CH2)yR2, etc.; p = 1-4; R2 = OH, CN, SO3H, etc.; L = -1(CH2)mNN1(CH2)m-1x; X1 = R3, COR3, COOR3, etc.; R3 = H, cycloalkyl, aryl, etc.; q = 2-4; y = 1-2; n and m = 0-1; x = 0-1 with provisions] and their pharmaceutically acceptable salts, are prepared and

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) disclosed as renin inhibitors. Thus, e.g., II was prepd. by amidation of 2-chlorosionicotinoyl chloride with aniline followed by a methylation/redn. sequence to give intermediate 2-chloro-3-methylpyridine-4-cabilehyde (III). III underwent reductive amination with cyclopropylamine followed by substitution with 3-(tert-butyldimethylsilanyloxy)propan-1-ol to provide the desired pyridinylmethylamine intermediate which was used to couple with (rac.)-(1R,59)-7-(4-[3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]3,9-dizabicyclo[3.3.1]non-6-ene-3,6,9-tricarboxylic acid 3,9-di-tert-Bu ester

(prepn. given). The coupling product was subjected to deprotection to provide II. The activity of I was evaluated in in vitro inhibition assays

provide II. The activity of I was evaluated in in vitro inhibition stays of human recombinant renin and it revealed that all compds. of the invention possessed ICSO values in the range of 0.49 up to 1.43 nM. I as inhibitors of renin should prove useful in the treatment of diseases such as, but not limited to, hypertension, congestive heart failure and pulmonary hypertension. Pharmaceutical compns. comprising of I are disclosed.
851449-69-9P 851449-70-2P 851449-71-3P
851449-72-4P
RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disracyclononene derivs. as inhibitors of renin)
851449-69-9 CAPLUS
3,9-Diarabicyclo[3,3,1]non-6-ene-6-catboxamide, 7-[4-[3-(2-chloro-3,6-difluorophenoxy)propyl)phenyl]-N-cyclopropyl-N-[(2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl)methyl]- (SCI) (CA INDEX NAME)

RN 851449-70-2 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-[4-[2-(2,6-

dichloro-4-methylphenoxy)ethoxy)phenyl}-N-[[2-(3-hydroxypropoxy)-3-methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

851449-71-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-{3-{2-chloro-3,6-difluorophenoxy}propyl]phenyl]-M-cyclopropyl-M-[[2-{2-hydroxyethoxy}-3-methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

RN 851449-72-4 CAPLUS CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[2-(2,6-

dichloro-4-methylphenoxy)ethoxy]phenyl]-N-[{2-(2-hydroxyethoxy)-3-methyl-4-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1059175 CAPLUS
142:38278 142:38278

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: ACCESSION BLACK CHISTOPHER SOURCE: PCT INT. APPL., 177 pp.
COODEN TYPE: PCT INT. APPL., 177 pp.
COODEN FIXED PATENT TYPE: PATENT THE STANDARD COUNT: English
FAMILU ACC. NUM. COUNT: PATENT INFORMATION: PCT INT. APPL., 177 pp.
COODEN FIXED PATENT TYPE: English
FAMILU ACC. NUM. COUNT: PCT INT. APPL., 177 pp.
COODEN FIXED PATENT INFORMATION: PCT INT. APPL., 177 pp.
COODEN FIXED PATENT TYPE English
FAMILU ACC. NUM. COUNT: PCT INT. APPL., 177 pp.
COODEN FIXED PATENT TYPE ENGLISHED PATENT TYPE PATENT TYP

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE		
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WO :	2004	1057	62		A1		2004	1209		WO 2	004-	EP50	65		2	0040	512	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	ÍS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MΚ,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UΑ,	υG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
							GR,											
		si,	sĸ,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	ΝE,	
		SN,	TD,	TG														
YTTGC	APP	I.N.	TNFO							<b>≅∩</b> 2	003~	PD56	61		n 2	OD 3 O	530	

OTHER SOURCE(S):

MARPAT 142:38278

Pharmaceutical compns. for treating diseases demanding the inhibition of parasite aspartic proteases contain one or more compound(s) of the stal formula (I) [X, W = N, CH; V = (CH2)r, A-(CH2)s, CH2-A-(CH2)t, (CH2)s-A, (CH2)2-A-(CH2)u-; A(CH2)v-B, (CH2)3-A-CH2, A-CH2CH2-B-CH2, A-CH2CH2-B-CH2, CH2-A-CH2CH2-B, (CH2)3-A-CH2CH2, (CH2)4-A-CH2) [V = A-CH2CH2-B-CH2, CH2-A-CH2) [V = A-CH2CH2-B-CH2, CH2-A-(CH2)3-B, CH2CH2-A-CH2CH2-B-CH2, CH2-A-(CH2)3-B, CH2CH2-A-CH2CH2-B-CH2, CH2-B-CH3, CH2-B-CH3, CH2-B-CH3, CH2-B-CH3, CH2-B-CH3, CH3-B-CH3, CH3-B-CH3, CH3-B-CH3, CH3-B-CH3, CH3-B-

racemates, and the meso-torm as and suitable carrier materials. The pharmaceutical compness are useful for the treatment or prevention of malaria or diseases caused by protozoal infection. The invention also concerns related aspects including processes for the preparation of the pharmaceutical compns. containing one or more of those compds. and

especially their use as inhibitors of plasmepsin II. For example, compound (II) showed IC50 of <10 nM against plasmepsin II.

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

2 CM

64-18-6 C H2 O2

CH-OH

625419-28-5P 625419-30-9P 625419-36-5P
625419-52-5P 625419-99-0P 625420-01-1P
625420-07-7P 625420-31-7P 625420-37-3P
625420-41-9P 625420-49-7P 625420-37-3P
625420-59-3P 625420-99-7P 625421-23-0P
625421-40-1P 625421-42-3P 625421-23-0P
625421-40-1P 625421-42-3P 625421-23-0P
625421-46-7P 625421-30-3P 625421-23-0P
625421-40-1P 625421-42-3P 625421-42-8P
625424-30-2P 625422-461-8P 625422-4-60-4P
625424-60-2P 625422-461-8P 625424-60-0P
625424-60-2P 625422-461-8P 625424-78-8P
625424-60-3P 625422-61-1P 625427-38-5P
625427-86-3P 625427-88-5P 625427-92-1P
625431-39-3P 625431-33-0P 625433-91-2P
807615-51-6P 807615-53-8P 807615-57-2P
807615-17-2P 807615-71-0P 807615-72-1P
807615-73-2P 807615-71-0P 807615-73-4P
807615-78-9P 807615-71-6P 807615-73-8P
807615-80-3P 807615-80-1P 807615-73-8P
807615-80-3P 807615-80-1P 807615-78-P
807615-80-3P 807615-80-1P 807615-78-P
807615-80-3P 807615-80-0P 807615-81-2P
807615-80-3P 807615-80-0P 807615-81-4P
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807615-80-3P 807615-80-0P 807615-81-4P
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807615-80-3P 807615-80-0P 807615-81-4P
807615-80-3P 807615-80-0P 807615-90-3P
807615-90-3P 807616-00-0P
807616-01-0P 807616-00-0P 807616-00-0P
807616-01-0P 807616-00-0P 807616-00-0P
807616-01-0P

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 807616-55-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3,9-diazabicyclo[3.3.1]nonene derivs. as inhibitors of parasite aspartic proteases)
807616-55-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-carboxylic acid, 6-{{{(c-chlorophenyl)methyl|cyclopropylamino|carbonyl]-7-[4-[3-(2,3,6-trifluorophenoxy)propyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

625424-37-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses)
 (preparation of 3,9-diazabicyclo[3.3.1]nonene derivs. as inhibitors of
 parasite aspartic proteases)
6242-37-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[2-(2,3-difluorophenyl)ethyl]amino]carbonyl]-8-oxo-, monoformate.(9CI) (CA
 INDEX NAME)

1

CRN 625424-36-4 CMF C39 H41 Br F3 N3 O5

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued) (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3,9-diazabicyclo[3.3.1]nonene derivs. as inhibitors of parasite aspartic proteases for treatment or prepn. of malaria diseases

caused by protozoal infection)
625419-28-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-(3-methoxyphenoxy]ethyl]phenyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX

625419-30-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-N-methyl-N-(2-phenylethyl)-7-[4-[2-[3-(trifluoromethoxy)phenoxy]ethyl]phenyl]- (9CI)
(CA INDEX NAME)

625419-36-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-{2-chlorophenoxy)propyl]phenyl]-N-methyl-N-{2-phenylethyl}- (9CI) (CA INDEX NAME)

625419-52-5 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-{3-bcromophenoxy|ethyl]phenyl]-N-methyl-N-[2-phenylethyl]- (9CI) (CA INDEX

RN 625419-99-0 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
3-acctyl-M-methyl-7-[4-(2[2-(1-methylethyl)phenoxy]ethyl]phenyl]-N-(2-phenylethyl)- (9CI) (CA
INDEX RAME)

RN 625420-01-1 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-[2-(1,1-dimethylethyl)phenoxy]ethyl]phenyl-N-methyl-N-(2-phenylethyl)- (9CI)

(CA INDEX NAME)

RN 625420-07-7 CAPLUS

3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-{2-{2-{1,1-dimethylethyl}-4-methylphenoxy]ethyl}phenyl]-N-methyl-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continu

RN 625420-49-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-(3,5-dichlorophenoxy)ethyl]phenyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX
NAME!

RN 625420-91-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-[2-chlorophenoxy]propyl]phenyl}-N-[2-(2-chlorophenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 625420-95-3 CAPLUS

S,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-{3-(2-chlorophenoxy)propyl)phenyl]-N-[(2-chlorophenyl)methyl]-N-cyclopropyl-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 625420-31-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-[2,5-dichlorophenoxy]ethyl]phenyl]-N-methyl-N-[2-phenylethyl]- [9CI] (CA INDEX
NAME)

RN 625420-37-3 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[2-(3-chlorophenoxy)ethyl]phenyl]-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 625420-41-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-(2-[4-(1,1-dimethylethyl)-2-methylphenoxy]ethyl]phenyl]-N-methyl-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 625420-97-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-methyl-N-(3-phenylbutyl)- (9CI) (CA INDEX NAME)

RN 625421-23-0 CAPLUS
CN 3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide,
3-acetyl-7-(4-[3,-(2-b-zmo5-fluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-(phenylmethyl)- (9CI) (CA
INDEX NAME)

RN 625421-40-1 CAPLUS
CN 3,9-blazableyclo[3,3.1]non-6-ene-6-carboxamide,
3-acetyl-7-(4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-N-[2-(2-chlorophenyl)ethyl]-N-cyclopropyl-(9Cl) (CA INDEX NAME)

RN 625421-42-3 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
3-acetyl-7-[4-[3-(2-bromo-5-fluorophenoxy]propyl]phenyl]-N-cyclopropyl-N-[2-(2,3-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 625421-44-5 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
3-acetyl-7-[4-[3-{2-bromo-5-fluorophenayl}propyl]phenyl]-N-cyclopropyl-N-[2-{4-fluorophenyl}ethyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 625424-22-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[(3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-8-oxo-(9CI) (CA INDEX NAME)

RN 625424-34-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[[2-(2-chlorophenyl)ethyl]cyclopropylamino [carbonyl]-8-oxo- (9CI) (CA INDEX NAME)

RN 625421-46-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
3-acetyl-7-[4-[3-{Z-bromo-5-fulorophenoxylpropyl]phenyl]-N-cyclopropyl-N-[2-(2-methylphenyl)ethyl]-(9CI) (CA INDEX NAME)

RN 625421-50-3 CAPLUS
CN 3,9-Diarabicyclo[3.3.1]non-6-ene-6-carboxamide,
3-acetyl-7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-N-cyclopropyl-N-[[3-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 625424-36-4 CAPLUS
CN 3,9-Dlazablcyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-(3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[(cyclopropyl[2-(2,3-difluorophenyl)ethyl]amino]carbonyl]-8-oxo- [9CI) (CA INDEX NAME)

RN 625424-48-8 CAPLUS

S, 9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy]propyl]phenyl]-6-[(cyclopropyl](2-fluorophenyl)methyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625424-50-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-{4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[[3-(trifluoromethyl]phenyl]methyl]amino]carbonyl]-8-oxo-, methyl ester (9C1) (CA INDEX NAME)

625424-52-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl](2-methylphenyl)methyl]henyl)methyllimethyl]henyl)methyllimethyl]henyl)methyllimethyl

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

RN CN

625424-64-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-{4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[2-{2,3-difluorophenyl}ethyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625424-66-0 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[2-(4-fluorophenyl]ethyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625424-68-2 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-{Z-bromo-5-fluorophenoxy]propyl]phenyl]-6-[{cyclopropyl[2-{Z-methylphenyl]ethyl]amino]carbonyl]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

625424-60-4 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

625424-62-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

625424-70-6 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[(3,5-dimethoxyphenyl]methyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625424-72-8 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-(3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl[2-(4-methylphenyl]ethyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625426-50-8 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

fluorophenoxy)propyl]phenyl]-6-[[[(2-chlorophenyl)methyl]cyclopropylamino}carbonyl]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

625426-61-1 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-{2-bromo-5-

fluorophenoxy)propyl}phenyl]-6-[[cyclopropyl(phenylmethyl)amino]carbonyl]8-oxo-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

625427-92-1 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(2-chloro-4,5-dimethylphenoxy]ethoxy]phenyl]-6-[[cyclopropyl[[3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625431-28-9 CAPLUS 3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-{3-{2-

625431-53-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-(3-{2-chlorophenoxy)propyl]phenyl]-N-[{2-chlorophenyl}methyl]-N-methyl- (9CI)
(CA INDEX NAME)

625427-38-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-{4-{2-(2-chloro-4,5dimethylphenoxy)ethoxy]phenyl]-N-[(2-chlorophenyl)methyl]-N-ethyl-3-formyl-(9CI) (CA INDEX NAME)

625427-86-3 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(2-chloro-4,5-

ethylphenoxy)ethoxy]phenyl}-6-{[[(2-chlorophenyl}methyl]cyclopropylamin o]carbonyl]-8-oxo-, methyl ester (9CI) (CA INDEX NAME)

625427-88-5 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(2-chloro-4,5-

. dimethylphenoxy) ethoxy] phenyl] -6-[[[(2-chlorophenyl)methyl]ethylamino]carb onyl]-δ-οxο-, methyl ester [9CI] (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

625433-91-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(2-bromo-5-fluorophenoxy)ethyl]phenyl]-6-[[methyl](2-phenylethyl)amino]carbonyl]8-0x0- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Br} \\ \text{Ho}_2\text{C}-\left(\text{CH}_2\right)_3-\text{C} \\ \text{NH} \\ \text{CH}_2-\text{CH}_2-\text{CH}_2-\text{Ph} \\ \text{O Me} \end{array}$$

807615-51-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

fluorophenoxy)propyl]phenyl]-6-{[cyclopropyl(phenylmethyl)amino]carbonyl]-\alpha, \alpha-dimethyl-8-oxo- (9CI) (CA INDEX NAME)

807615-53-8 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl](2-methylphenyl]methyl]aminojcarbonyl]-a,a-dimethyl-8-oxo-(9CI) (CA INDEX NAME)

807615-57-2 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

fluorophenoxy)propyl]phenyl]-6-[[[(2-chlorophenyl)methyl]cyclopropylamino] carbonyl]-a,a-dimethyl-8-oxo- (9CI) (CA INDEX NAME)

807615-60-7 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[[cyclopropyl](3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]- $\alpha$ ,  $\alpha$ -dimethyl-8-oxo- (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

807615-70-9 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 6-[[[(2-chloropheny)]methyl]cyclopropylamino]carbonyl]-a,α-dimethyl-8-oxo-7-[4-[3-(2,4,6-trifluorophenoxy)propyl]phenyl]- (9CI) (CA INDEX NAME)

807615-71-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 6-[{cyclopropyl[[3-trifluoromethyl]phenyl]methyl]amino]carbonyl]-8-oxo-7-[4-[3-(2,4,6-trifluorophenoxy)propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

807615-62-9 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-N-cyclopropyl-3-[[(2R,48)-4-hydroxy-2-pyrrolidinyl]carbonyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

807615-68-5 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 6-{{{(2-chlorophenyl)methyl]cyclopropylamino}carbonyl]-6-oxo-7-[4-[3-(2,4,6-trifluorophenoxy)propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

807615-72-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-N-[{2-chlorophenyl)methyl]-N-cyclopropyl-7-[4-[3-(2,4,6-trifluorophenoxy)propyl]phenyl]- (9CI) (CA INDEX NAME)

807615-73-2 CAPLUS
3,9-Diazabicyclo(3.3.1)non-6-ene-3-pentanoic acid, 6-[[{2-chlorophenyl)methyl]cyclopropylamino]carbonyl]-8-oxo-7-[4-[3-(2,4,6-trifluorophenoxy)propyl)phenyl]- (9CI) (CA INDEX NAME)

RN 807615-74-3 CAPLUS
CN 3,9-blazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-[(2-chlorophenyl]methyl]N-cyclopropyl-7-[4-[3-(2,4,6-trifluorophenoxy)propyl]phenyl]- (9CI) (CA INDEX NAME)

807615-75-4 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(4-bromophenoxy)ethoxy]phenyl]-6-[[cyclopropyl[(3-(trifluoromethyl]phenyl]methyl]amino]carbonyl]- $\alpha$ , $\alpha$ -dimethyl- $\alpha$ -oxo-(9C) (CA INDEX NAME)

807615-76-5 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[2-(2-chloro-4,5-dimethylphenoxy)ethoxy]phenyl]-6-[[cyclopropyl[[3-(trifluoromethyl)phenyl]methyl]amino]carbonyl]- $\alpha$ , $\alpha$ -dimethyl-8-oxo-(9CI) (CA INDEX NAME)

(Continued) ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

807615-80-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-butyl-7-{4-[3-(2-chlorophenoxy)propyl]phenyl]-3-formyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

807615-81-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-[3-(2-chlorophenoxy)propyl]-3-formyl-N-methyl- (9CI) (CA INDEX NAME)

807615-82-3 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-[(3,4-difluorophenyl)methyl]-3-formyl-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

807615-77-6 CAPLUS 3,9-Diazabicyclo{3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-{2-

chlorophenoxy)propyl]phenyl]-N-[2-(4-fluorophenyl)ethyl]-3-formyl-N-methyl-(9CI) (CA INDEX NAME)

(Continued)

807615-78-7 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-{3-(2-chlorophenoxy)propyl]phenyl]-N-[{3,4-dichlorophenyl}methyl]-3-formyl-N-methyl- (9CI) (CA INDEX NAME)

RN 807615-79-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-[(4-bromophenyl)methyl]7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-3-formyl-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

807615-83-4 CAPLUS
3,9-Diazabicyclo(3.3.1]non-6-ene-6-carboxamide, 7-[4-{3-(2-chlorophenoxy)propyl]phenyl]-N-[{2,4-dichlorophenyl}methyl}-3-formyl-N-methyl- (9CI) (CA INDEX NAME)

807615-84-5 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-

chlorophenoxy)propyl]phenyl]-N-{(4-chlorophenyl)methyl}-3-formyl-N-methyl-(9CI) (CA INDEX NAME)

807615-85-6 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[3-(2-

chlorophenoxy)propyl]phenyl]-3-formyl-N-methyl-N-[(2-methylphenyl)methyl]-(9CI) (CA INDEX NAME)

RN 807615-86-7 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-{2-chlorophenoxy]propyl]phenyl}-N-methyl-N-[(3-methylphenyl)methyl]- (9CI)
(CA INDEX NAME)

RN 807615-87-8 CAPLUS .
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-

RN 807615-88-9 CAPLUS
CN 3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-[2-chlorophenoxy]propyl]phenyl]-N-methyl-N-[2-[2-methylphenyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 807615-93-6 CAPLUS

CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-N-[2-(2-bromophenyl)ethyl]-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-methyl-

(9CI)

(CA INDEX NAME)

RN 807615-94-7 CAPLUS

S,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-{2-chlorophenoxy}]propyl]phenyl]-N-[2-(2,4-difluorophenyl)ethyl]-N-methyl(9CI) (CA INDEX NAME)

RN 807615-95-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chicophenoxy)propyl]phenyl]-N-methyl-N-(5-phenylpentyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

RN 807615-89-0 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-{3-(2-chlorophenoxy)propyl]phenyl}-N-[2-(3,4-difluorophenyl)ethyl]-N-methyl[9CI] (CA INDEX NAME)

(Continued)

RN 807615-90-3 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-[{3-chlorophenoyl}methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 807615-92-5 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-[(3,4-difluorophenyl)methyl]-N-methyl-(SCI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 807615-96-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-{2-chlorophenoxy)propyl]phenyl]-N-methyl-N-[3-{2-methylphenyl)propyl]- (9CI) (CA INDEX NAME)

RN 807615-97-0 CAPLUS
3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-{2-chlorophenoxy)propyl]phenyl}-N-(2-phenylethyl)-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

RN 807615-98-1 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-[2-(2,4-dichlorophenyl)ethyl]-N-methyl-(9CI) (CA INDEX NAME)

RN 807615-99-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, 3-acetyl-7-[4-[3-(2-chlorophenoxy)propyl]phenyl]-N-methyl-N-[2-(2,4,6-trimethylphenyl)ethyl](9C1) (CA INDEX NAME)

RN 807616-00-8 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy)propyl]phenyl]-6-[(cyclopropyl[2-(2, 3-difluorophenyl] ethyl]amino]carbonyl]-α,α-dimethyl-δ-oxo-(9CI) (CA INDEX NAME)

RN 807616-01-9 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 807616-04-2 CAPLUS
3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-{4-[3-{2-bromo-5-fluorophenoxy]propyl]phenyl]-6-[cyclopropyl [2-(4-fluorophenyl) ethyl]amino]carbonyl]-α,α-dimethyl-δ-oxo-(9CI) (CA INDEX NAME)

RN 807616-05-3 CAPLUS
3,9-Diazabicyclo[3.3,1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy] propyl] phenyl]-6-[[cyclopropyl][2-(4-methylphenyl]ethyl]amino]carbonyl]-α,α-dimethyl-δ-oxo-(9cI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

fluorophenoxy)propyl]phenyl]-6-[[(2-(2-chlorophenyl)ethyl)cyclopropylamino ]carbonyl]-γ,γ-dimethyl-δ-οxο- (9CI) (CA INDEX NAME)

RN 807616-02-0 CAPLUS

SN 3,9-Dlazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-fluorophenoxy]propyl]phenyl]-6-[[cyclopropyl[2-(2-methylphenyl]ethyl]anino]carbonyl]-y,y-dimethyl-6-oxo-[9CI] (CA INDEX NAME)

RN 807616-03-1 CAPLUS
CN 3,9-Diazabicyclo[3.3.1]non-6-ene-3-pentanoic acid, 7-[4-[3-(2-bromo-5-

fluorophenoxy)propyl]phenyl]-6-[{cyclopropyl(2-phenylethyl)amino}carbonyl}α,α-dimethyl-6-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 807616-06-4 CAPLUS
CN Carbamic acid, [5-(7-[4-[2-(2-bromo-4-fluorophenoxy)ethyl)phenyl]-6[[methyl(2-phenylethyl)amino]carbonyl]-3,9-diazabicyclo[3.3.1]non-6-en-3yl]-1,5-dioxopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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RN 807616-07-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[7-[4-[2-(2-bromo-4-fluorophenoxyl ethyl]phenyl]-6-[[methyl (2-phenylethyl) amino]carbonyl]-3,9-diazabicyclo[3.3.1]non-6-en-3-yl]carbonyl]-, 1,1-dimethylethyl ester
(9CI)
(CA INDEX NAME)

$$\begin{array}{c} 0 \\ C \\ C \\ C \\ C \\ NH \\ CH_2-CH_2-O \\ Br \\ C-N-CH_2-CH_2-Ph \\ O \\ Me \\ \end{array}$$

807616-08-6 CAPLUS .

3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, 7-(4-[2-(2-bromo-5-floorophenoxy)ethyl)phenyl)-3-(3-hydroxy-1-oxobutyl)-N-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

807616-09-7 CAPLUS
3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide, 7-[4-[2-(2-bromo-5-fluorophenoxy)ethyl]phenyl]-N-methyl-N-(2-phenylethyl)-3-(1H-tetrazol-1-ylacetyl)- (9CI) (CA INDEX NAME)

807616-10-0 CAPLUS
3,9-Dhazabicyclo(3.3.1)non-6-ene-6-carboxamide, 7-{4-[2-(2-bromo-5-fluorophenoxy)ethyl]phenyl]-3-(hydroxyacetyl)-N-methyl-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:965250 CAPLUS DOCUMENT NUMBER: 141:395706

TITLE:

INVENTOR(S):

141:395706
Diazabicyclononene and tetrahydropyridine derivatives as renin inhibitors
Bezencon, Olivier; Bur, Daniel; Fischli, Walter;
Bezencon, Olivier; Bur, Daniel; Fischli, Walter;
Remen, Lubos; Richard-Bildstein, Sylvia; Weller,
Thomas
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 56 pp.
CODEN: PIXXD2
Patent
English
1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		APPLICATION NO.	
		WO 2004-EP4373	
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW, I	MX, MZ, NA, NI,
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE,	SG, SK, SL, SY,
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN,	YU. ZA. ZM. ZW
RW: BW, GH, GM,	KE, LS. MW. MZ.	NA, SD, SL, SZ, TZ,	UG. ZM. ZW. AM.
		TM, AT, BE, BG, CH,	
EE, ES, FI,	FR, GB, GR, HU,	IE, IT, LU, MC, NL,	PL, PT, RO, SE,
		CI, CM, GA, GN, GQ,	
SN, TD, TG			
AU 2004234040	A1 20041111	AU 2004-234040 CA 2004-2521951	20040426
CA 2521951	A1 20041111	CA 2004-2521951	20040426
EP 1622906	A1 20060208	EP 2004-729415	20040426
		GB, GR, IT, LI, LU,	
		CZ, EE, HU, PL, SK	,,,
CN 1780836	A 20060531	CN 2004-80011240	20040426
JP 2006524656	T 20061102	JP 2006-505262 US 2005-554443	20040426
US 2006217371	A1 20060928	US 2005-554443	20051025
PRIORITY APPLN. INFO.:		WO 2003-EP4393	A 20030428
		WO 2003-EP304393	A 20030428
		WO 2004-EP4373	W 20040426
		WO 2004-EF43/3	W 20040426
OTHER SOURCE(S):	MARPAT 141:3957	06 .	

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

3,9-Diazabicyclo[3.3.1]nonene derivs. I (2, Y, X, W = N, or -CH; V = alkyl, alkoxy, sulfide, sulfoxy, sulfate; U = aryl, heteroaryl; T = amide,

e, sulfamide, ester; Q = lower alkylene or alkenylene; L = H, alkyl, alkene, aryl, heteroaryl, alkoxy, aryloxy, CN, ester, amide, sulfate, sulfamide, etc.; M = H, cycloalkyl, aryl, heterocycle, heteroaryl) were prepared as renin inhibitors for the treatment of cardiovascular and renal diseases. Thus, II was treated with 2-chlorophenol, TMAD, and tri-Bu phosphine to give the aryl ether which was deprotected using THC/AcOH to give III.

CH2-CH2-

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

17 790696-38-7P 790696-39-8P 790696-40-1P

790696-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of diazabicyclononenes and their activity as renin
inhibitors

for the treatment of cardiovascular disease, renal diseases, and other
related conditions)

RN 790696-38-7 CAPLUS

CN 3,9-Dlazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropul-7-[4-2-(2,6-dichloro-4-methylphenoxy)ethoxy]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (SCI) (CA INDEX NAME)

790696-39-8 CAPLUS 3,9-Diazabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-7-[4-[4-[2-fluor-6-(trifluoromethyl)phenoxy]butoxy]phenyl]-N-[(3-methoxy-2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 790696-40-1 CAPLUS
CN 3,9-blazabicyclo[3.3.1]non-6-ene-6-carboxamide,
N-cyclopropyl-7-(4-[4-{2,6dichloro-4-methylphenoxy)butoxy]phenyl]-N-[(3-methoxy-2methylphenyl)methyl]- (9CI) (CA INDEX NAME)

790696-41-2 CAPLUS /9U099-41-2 CAPUS
3,9-Diazabicyclo[3,3.1]non-6-ene-6-carboxamide,
[4-(2-chloro-6-fluoro4-methylphenoxy)butoxy]phenyl]-N-cyclopropyl-N-[(3-methoxy-2methylphenyl)methyl]- [9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The invention relates to novel 3,9-diazabicyclo[3.3.1]nonene derivs. of formula (I) [wherein W = six-membered non benzofused, Ph or heterocyclic ring substituted in the meta or para positions; V = OCRIZCR(OME)CRIZO, OCRIZCR(Me)CRIZO, OCRIZCR(Me)CRIZO, OCRIZCR(Me)CRIZO, OCRIZCR(ME)CRIZO, OCRIZCR(ME)CRIZO, OCRICCRIZCR(ME)CRIZO, OCRIZCR(ME)CRIZO, OCRIZCR(ME)CRIZO, U = aryl, heteroaryl; T = CONRI, (CRIZ)pDCO, (CRIZ)pD(RI)SO2, or COZ; Q = lower alkylene, or alkenylene; M = H, cycloalkyl, aryl, heterocycly, heteroaryl; L = R3, COR3, COZR3, CONRZR3, SOZR3, SOZRRZR3, COCR(RIY)12;

heteroaryl; L = R3, COR3, COR73, CONR2R3, SO2R3, SO2RR2R3, COCH(Aryl)2;

R1

= H; lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl,
cycloalkyl-lower alkyl; R2 = H, lower alkyl, lower alkenyl, cycloalkyl,
cycloalkyl-lower alkyl; R3 = H, lower alkyl, lower alkenyl, cycloalkyl,
aryl, heteroaryl, heterocyclyl, cycloalkyl, lower alkenyl, cycloalkyl,
heteroaryl-lower alkyl, heterocyclyl-lower alkyl, aryloxy-lower alkyl,
heteroaryl-lower alkyl, heterocyclyl-lower alkyl, aryloxy-lower alkyl,
heteroaryloxy-lower alkyl, where by these groups may be unaubstituted or
mono, di - or triaubstituted; m, n = 0 or 1 with the proviso that in case
m is 1, n is 0, or vice versa; p = an integer of 1-4; k = an integer of
0-11 and optically pure enantiomers, mixts. of enantiomers such as
racemates, diastereomers, mixts. of diastereomers, diastereomeric
racemates, etc. and pharmaceutically acceptable salts, solvates, and
morphol. forms were prepared Also provided are pharmaceutical compns.
containing the compds. as the active ingredients for treatment or
prophylaxis
of disorders which are associated with a dysregulation of the
renin-angiotensin system (RAS), comprising cardiovascular and renal
diseases, hypertension, congestive heart failure, pulmonary hypertension,
cardiac insufficiency, renal insufficiency, renal or myocardial ischemia,
renal colic, glaucoma, diabetic complications, complications of treatment with
immunosuppressive agents after organ transplantation, and other diseases
known to be related to the RAS. Thus, (IR, SS)-7-[4-[(2S)-2-methyl-3(2,3,6-trifluorophenoxy)propoxy|phenyl|-3,9-diazabicyclo[3,3,1]non-6-ene-6-

(2,3,6-trifluorophenoxy)propoxy]phenyl)-3,9-diazabicyclo(3.3.1)non-6-ene-6-carboxylic acid cyclopropyl(2,3-dichlorobenzyl)amide and

(1S, SR) -7-[4-[(2S)-2-methyl-3-(2,3,6-trifluorophenoxy)propoxy]phenyl]-3,9-diazabicyclo[3,3.1]non-6-ene-6-carboxylic acid cyclopropyl(2,3-dichlorobenzyl)amide were prepared starting from (-)-Me D-β-hydroxyisobutyrate.
IT 791065-37-7P 793709-22-5P RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:964985 CAPLUS DOCUMENT NUMBER: 141:410959 TITLE: Preparation

and their use as renin inhibitors in the

diseases
Bezencon, Olivier; Bur, Daniel; Fischli, Walter;
Remen, Lubos; Richard-Bildstein, Sylvia; Weller,
Thomas; Sifferlen, Thierry
Actelion Pharmaceuticals Ltd., Switz.
PCT Int. Appl., 32 pp.
CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ENT				KIN	D	DATE									ATE	
						-											
	2004									WO 2	004-	EP43	72		2	0040	426
WO	2004																
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GΕ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU.	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT.	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW.	GH,	GM,	KE,	LS.	MW.	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM.	ZW.	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	ĊZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI.	SK,	TR.	BF,	BJ,	CF.	CG,	CI,	CH,	GA,	GN,	GQ.	GW,	ML,	MR,	NE,
		SN.	TD,	TG													
ΑU	2004						2004	1111		AU 2	004-	2335	77		2	0040	426
CA	2521	898			A1		2004	1111		CA 2	004-	2521	898		2	0040	426
EΡ	1622	564			A2		2006	0208		EP 2	004-	7294	14		2	0040	426
	R:																
		IE,	SI,	FI,	RO,	CY,	TR,	BG,	cz,	EE,	HU,	PL,	SK				
BR	2004	0098	82		A		2006	0523		BR 2	004-	9882			2	0040	426
CN	1780	838			А		2006	0531		CN 2	004-	8001	1650		2	0040	426
JΡ	2004 1780 2006	5252	59		Ť		2006	1109		JP 2	006-	5052	61		2	0040	426
US	2006	2237	95		Al		2006	1005		US 2	005-	5553	82		2	0051	102
	APP										003-					0030	502
										WO 2	004-	EP43	72	,	W 2	0040	426

OTHER SOURCE(S):

CASREACT 141:410959; MARPAT 141:410959

ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of 7-aryl-3,9-diazabicyclo[3,3.1]non-6-ene derivs, and their use as renin inhibitors in treatment of hypertension, cardiovasculer

renal diseases)

renal claesses;
791065-37-7 CAPLUS
3,9-Diszabicyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-[(2,3-dichlorophenyl)methyl]-7-[4-((28)-2-methyl-3-(2,3,6-trifluorophenoxy)propoxy]phenyl]-, (1R,58)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

793709-22-5 CAPLUS
3,9-Diazabicyclo(3.3.1)non-6-ene-6-carboxamide, N-cyclopropyl-N-{(2,3-dlchlorophenyl)methyl]-7-[4-((28)-2-methyl-3-(2,3,6-trifluorophenoxy)propoxy]phenyl]- (9CI) (CA INDEX NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of 7-aryl-3,9-diazabicyclo[3.3.1]non-6-ene derivs. and

use as renin inhibitors in treatment of hypertension, cardiovascular

ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) renal diseases)
791065-38-8 CAPLUS
3,9-Diazablcyclo[3.3.1]non-6-ene-6-carboxamide, N-cyclopropyl-N-{(2,3-dichlorophenyl)methyl]-7-{4-{(2S)-2-methyl-3-(2,3,6-trifluorophenoxy)propoxy)phenyl]-, (1S,5R)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The invention relates to novel 3,9-diazabicyclo[3,3,1]nonene derivs. of formula (I) [wherein X, W = N, CH;  $V = (CH2)_T$ ,  $A - (CH2)_S$ ,  $CH2 - A - (CH2)_T$ ,  $A - (CH2)_S$ ,  $A - (CH2)_T$ , A - (CH2)

M = H, cycloalkyl, aryl, heterocyciyi, heteroaryi; L = M3, CUR3, CURAS, CONRAR3, SORRAS, SORNARS, COCH(Aryl)2; R1 = H; lower alkyl, lower alkynyl, cycloalkyl, aryl, cycloalkyl-lower alkyl; R2 = H, lower alkyl, lower alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl-lower alkyl, aryl, heteroaryl, heterocyclyl-lower alkyl, aryl-lower alkyl, heteroaryl-lower alkyl, where by these groups may be unsubstituted or mono, di- or trisubstituted;

m, n = 0 or l, with the proviso that in case m is 1, n is 0, or vice versa; p, t = an integer of 1-4; r = an integer of 3-6; s = an integer 2-5; u = an integer of 1-3; v = an integer of 2-4) and optically pure enantlomers, mixts, of enantlomers such as racemates, diasteroemers, mixts, of diasteroemeric racemates, etc. and pharmaceutically acceptable salts, solvates, and morphol, forms. Also provided are pharmaceutical compmas, containing the compds, as the active ingredients for treatment or prophylaxis of disorders which are associated with a dysegulation of the renin-angiotensin system (RAS), comprising cardiovascular and renal diseases, hypertension, congestive heart failure,

cardioveacute: and tender cardiac insufficiency, renal insufficiency, renal or myocardial ischemia, atherosclerosis, renal failure, erectile dyafunction, glomerulonephritis, renal colle, glaucoma, diabetic complications, complications after vascular or cardiac surgery, restenosis, complications of treatment with immunosuppressive agents

organ transplantation, and other diseases known to be related to the RAS. The IC50-values of all compds. tested against recombinant human renin

below 100 nM.
625433-85-4P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(preparation of 7-aryl-3,9-diazabicyclo[3.3.1]non-6-ene derivs. as

inhibitors in the treatment of hypertension, cardiovascular or renal diseases)

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2003:892776 CAPLUS

DOCUMENT NUMBER: 139:395949

DOCUMENT NUMBER: 139:395949
TITLE: Preparation of
7-aryl-3,9-diazabicyclo[3.3.1]non-6-ene
derivatives and their use as renin inhibitors in the
treatment of hypertension, cardiovascular or renal
diseases
INVENTOR(S): Bezencon, Olivier; Bur, Daniel; Fischli, Walter; meir use as renin inhibitors in cases and continuous as seen as seen and continuous as seen as

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		APPLICATION NO.	DATE
wo 2003093267	A1 20031113	WO 2003-EP3721	20030408
W: AE, AG, AL,	AM, AT, AU, AZ	~ĒA, BB, BG, BR, BY,	BZ, CA, CH, CN,
		DZ, EC, EE, ES, FI,	
		JP, KE, KG, KP, KR,	
		MK, MN, MW, MX, MZ,	
		SG, SK, SL, TJ, TM,	
	UZ, VC, VN, YU,		,,,
		SL, SZ, TZ, UG, ZM,	ZW. DM. DZ. BY.
		BE, BG, CH, CY, CZ,	
		LU, MC, NL, PT, RO,	
		GN, GQ, GW, ML, MR,	
		CA 2003-2483241	
		AU 2003-233963	
		EP'2003-727287	
		GB, GR, IT, LI, LU,	
		CY, AL, TR, BG, CZ,	
* BR 2003009498		BR 2003-9498	
CN 1845070	N1 20050803	CN 2003-809766 US 2003-513103	20030408
105 2005176700	MI 20030011	US 2003-513103 JP 2004-501406	20030408
NZ 536750		NZ 2003-536750	
		IN 2004-CN2435	
	A 20041111	NO 2004-5042	
PRIORITY APPLN. INFO.:		WO 2002-EP4705	A 20020429
		WO 2003-EP3721	W 20030408

OTHER SOURCE(S):

MARPAT 139:395949

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued) 625433-85-4 CAPLUS 3,9-Diazabicyclo[3,3,1]non-6-ene-6-carboxamide, 2-chlorophenyl]methyl]N-cyclopropyl-3-[[(25,4R)-4-hydroxy-2-pyrrolidinyl]carbonyl]-7-[4-[3-(2,3,6-trifluorophenoxy)propyl]phenyl]-, (18,5R)- (9CI) (CA INDEX NAME)

625418-50-0P 625418-51-1P 625418-52-2P 625418-53-3P 625418-54-4P 625418-55-5P 625418-55-6P 625418-55-7P 625418-56-6P 625418-62-4P 625418-56-8P 625418-66-8P 625418-66-8P 625418-67-9P 625418-70-4P 625418-71-7P 62541 623419-32-39 623419-27-49 623419-29-61 623419-31-90 623419-31-90 623419-37-29 623419-33-49 623419-33-49 623419-33-49 623419-33-69 623419-33-89 623419-33-89 623419-33-89 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-43-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-63-90 623419-70-79 623420-00-79 623420-00-79 623420-00-89 623420-00-29 623420-00-79 623420-00-89 623420-10-29 623420-10-29 623420-10-29 623420-11-3-59

(Continued)

(Uses)
(prepn. of 7-aryl-3,9-diazabicyclo[3.3.1]non-6-ene derivs. as renin inhibitors in the treatment of hypertension, cardiovascular or renal diseases)
625418-50-0 CAPLUS
Benzeneacetic acid, 2-methoxy-, [3-[(4-chlorophenyl)acetyl]-7-[4-[3-[(2-methoxyphenyl)methoxyphenyl)methoxyphenyl]-3,9-diazabicyclo[3.3.1]non-6-en-6-yl]methyl ester (9CI) (CA INDEX NAME)

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

RN 625418-52-2 CAPLUS
CN Benzeneacetic acid, 2-methoxy-,
[7-[4-[3-[(2-methoxyphenyl)]methoxy]propoxy
]phenyl]-3-(2-quinoxalinyl)a-3, 9-diazabicyclo[3.3.1]non-6-en-6yl]methyl ester (9CI) (CA INDEX NAME)

(CH<sub>2</sub>) 3

CRN 625418-52-2 CMF C43 H44 N4 O7

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

625418-51-1 CAPLUS
Benzeneacetic acid, 2-methoxy-, [3-[(4-chlorophenyl)acetyl]-7-[4-[3-{(2-methoxypenyl)methoxypenyl)methoxypenyl]-3,9-diazabicyclo[3.3.1]non-6-en-6-yl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

625418-50-0 C42 H45 C1 N2 O7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

76-05-1 C2 H F3 O2

623418-54-4 CAPLUS

Benzeneacetic acid, 2-methoxy-,
-[4-[3-(2-methoxyphenyl)methoxy]propoxy
]phenyl]-3-([phenylmethyl)aulfonyl]-3,9-diazabicyclo[3.3.1]non-6-en-6yl]methyl ester (9CI) (CA INDEX NAME)

625418-55-5 CAPLUS
Benzeneacetic acid, 2-methoxy-,
1-[3-[(2-methoxypheny1)methoxy]propoxy
]phenyl]-3-[(phenylmethyl)aulfonyl]-3,9-diazabicyclo[3.3.1]non-6-en-6-yl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)